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NEWS 4 MAY 10	CA/CAplus enhanced with 1900-1906 U.S. patent records
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NEWS 6 MAY 19	Derwent World Patents Index to be reloaded and enhanced
NEWS 7 MAY 30	IPC 8 Rolled-up Core codes added to CA/CAplus and USPATFULL/USPAT2
NEWS 8 MAY 30	The F-Term thesaurus is now available in CA/CAplus
NEWS 9 JUN 02	The first reclassification of IPC codes now complete in INPADOC
NEWS 10 JUN 26	TULSA/TULSA2 reloaded and enhanced with new search and display fields
NEWS 11 JUN 28	Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12 JUL 11	CHEMSAFE reloaded and enhanced
NEWS 13 JUL 14	FSTA enhanced with Japanese patents
NEWS 14 JUL 19	Coverage of Research Disclosure reinstated in DWPI
NEWS 15 AUG 09	INSPEC enhanced with 1898-1968 archive
NEWS 16 AUG 28	ADISCTI Reloaded and Enhanced
NEWS 17 AUG 30	CA(SM)/CAplus(SM) Austrian patent law changes
NEWS EXPRESS	JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.
NEWS HOURS	STN Operating Hours Plus Help Desk Availability
NEWS LOGIN	Welcome Banner and News Items
NEWS IPC8	For general information regarding STN implementation of IPC 8
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FILE 'HOME' ENTERED AT 14:37:04 ON 06 SEP 2006

Updated Search

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FULL ESTIMATED COST	ENTRY	SESSION	
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=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\opm.str

L1 STRUCTURE uploaded

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 14:39:21 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 21638 TO ITERATE

9.2% PROCESSED 2000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 423956 TO 441564
PROJECTED ANSWERS: 153 TO 711

L2 2 SEA SSS SAM L1

Updated Search

09830923

=> s 11 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 14:39:26 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 430544 TO ITERATE

100.0% PROCESSED 430544 ITERATIONS 10 ANSWERS
SEARCH TIME: 00.00.08

L3 10 SEA SSS FUL L1

=> file hcplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
167.38 167.80

FILE 'HCPLUS' ENTERED AT 14:39:39 ON 06 SEP 2006
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FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 13
L4 13 L3

=> s 13/thu
L5 13 L3
807993 THU/RL
7 L3/THU
(L3 (L) THU/RL)

=> s 13/thu or 13/dma
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13 L3
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0 L3/DMA
(L3 (L) DMA/RL)
L6 7 L3/THU OR L3/DMA

Updated Search

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'?THERAP?' IS NOT A VALID CROSSOVER QUALIFIER FOR L3

Answer sets created in a different file may be field qualified with a limited set of qualifiers. Enter HELP CROSSOVER at an arrow prompt (>) for specific information.

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13 L3
263518 PAC/RL
L7 6 L3/PAC
(L3 (L) PAC/RL)

=>

=> s 15 not 17

L8 3 L5 NOT L7

=> d 15, ibib abs hitstr, 1-7

L5 ANSWER 1 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:464621 HCAPLUS

DOCUMENT NUMBER: 144:488655

TITLE: Preparation of 8H-imidazo[4,5-d]thiazolo[4,5-b]pyridine derivatives as IKK inhibitors for treatment of inflammatory and immune diseases

INVENTOR(S): Dyckman, Alaric; Pitts, William J.; Belema, Makonen; Gill, Patrice; Kempson, James; Qiu, Yuping; Quesnelle, Claude; Spergel, Steven H.; Zusi, F. Christopher

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 67 pp.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

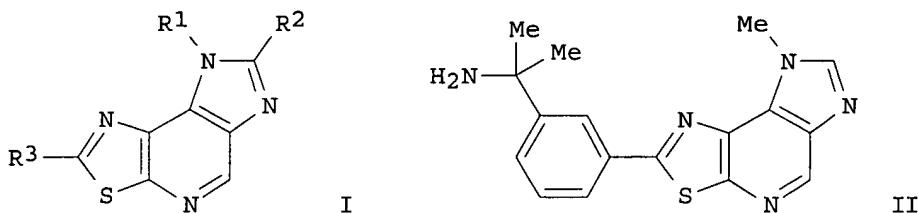
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006106051	A1	20060518	US 2005-272401	20051110
WO 2006053120	A1	20060518	WO 2005-US40726	20051110
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

PRIORITY APPLN. INFO.: US 2004-627761P P 20041112

OTHER SOURCE(S): MARPAT 144:488655

GI



AB The title 8H-imidazo[4,5-d]thiazolo[4,5-b]pyridine derivs. I [wherein R1 = H, alkyl, alkenyl, or alkynyl; R2 = H, halo, CN, (un)substituted alkyl, alkenyl, alkoxy, aryloxy, etc.; R3 = 3-substituted phenyl], or their enantiomers, diastereomers, and salts thereof were prepared as IKK inhibitors for the treatment of inflammatory and immune diseases. For example, II was prepared in a multi-step synthesis. The compds. showed inhibitory activity against IKK, IkB, NF- κ B, and/or TNF- α (no data).

IT 887253-17-0P

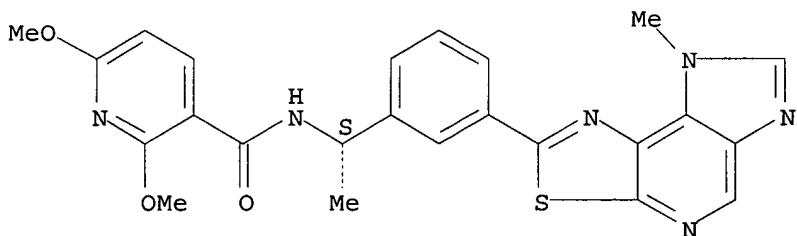
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(drug candidate; preparation of imidazothiazolopyridine derivs. as IKK inhibitors for treatment of inflammatory and immune diseases)

RN 887253-17-0 HCAPLUS

CN 3-Pyridinecarboxamide, 2,6-dimethoxy-N-[(1*S*)-1-[3-(8-methyl-8H-imidazo[4,5-d]thiazolo[5,4-b]pyridin-2-yl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L5 ANSWER 2 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:912843 HCAPLUS

ACCESSION NUMBER: 2009.34581
DOCUMENT NUMBER: 139:381756

DOCUMENT NUMBER: 155-361730
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

INVENTOR(S) : Inhibitors of hepatitis C virus
Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil;
Lovey, Raymond G.; Jao, Edwin; Bennett, Frank;
McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.;
Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-tsung; Zhu,
Zhaoning; Njoroge, F. George; Arasappan, Ashok;
Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.;
Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto,
Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey;
Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura,
Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua
Schering Corporation, USA; Dendreon Corporation

PATENT ASSIGNEE(S) :

PATENT ASSIGNEE(S) : Schering Corporation, USA; Dendreon Corporation

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SOURCE: U.S. Pat. Appl. Publ., 629 pp.

CODEN: USXXCO

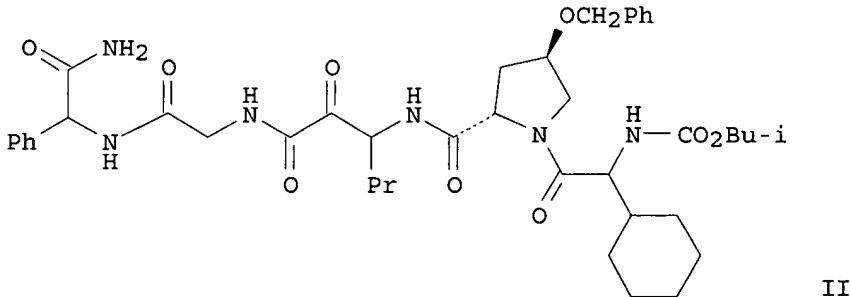
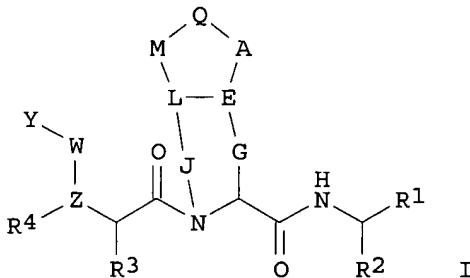
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2003216325	A1	20031120	US 2001-908955	20010719
US 2004254117	A9	20041216		
US 7012066	B2	20060314		
CN 1498224	A	20040519	CN 2001-813111	20010719
ZA 2002010312	A	20040329	ZA 2002-10312	20021219
PRIORITY APPLN. INFO.:			US 2000-220108P	P 20000721
OTHER SOURCE(S):	MARPAT	139:381756		
GI				



AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylaryl amino, arylamino, heteroaryl amino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO2, NH,

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NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO₂, or alkylidene (with provisos) which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared by the solid-phase method and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

IT 394720-42-4P

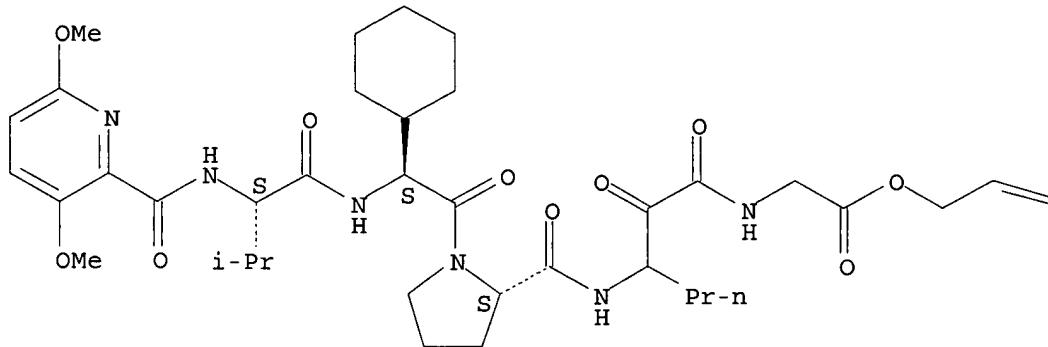
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394720-42-4 HCPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

= CH₂

REFERENCE COUNT: 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 7 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:591204 HCPLUS

DOCUMENT NUMBER: 139:149928

TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

INVENTOR(S): Saksena, Anil K.; Girijavallabhn, Viyyoor M.; Lovey,

09830923

Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, George F.; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Wong, Jesse K.; Nair, Latha G.

PATENT ASSIGNEE(S) : Schering Corporation, USA; Corvas International, Inc.; Dendreon Corp.

SOURCE: PCT Int. Appl., 633 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

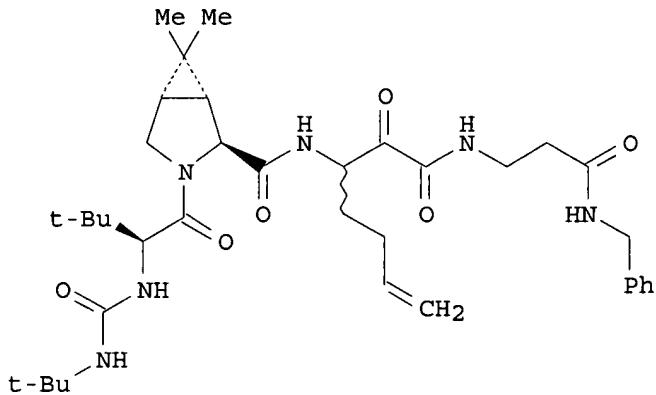
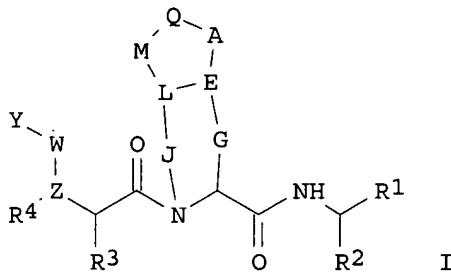
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

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WO 2003062265	A2	20030731	WO 2003-US1430	20030116
WO 2003062265	A3	20040916		
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CA 2473032	AA	20030731	CA 2003-2473032	20030116
EP 1481000	A2	20041201	EP 2003-731956	20030116
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BR 2003006931	A	20050419	BR 2003-6931	20030116
CN 1633446	A	20050629	CN 2003-805933	20030116
JP 2005524628	T2	20050818	JP 2003-562142	20030116
NO 2004002792	A	20041015	NO 2004-2792	20040702
PRIORITY APPLN. INFO.:			US 2002-52386	A 20020118
			WO 2003-US1430	W 20030116

OTHER SOURCE(S) : MARPAT 139:149928

GI



AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylaryl amino, arylamino, heteroaryl amino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is selected from O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO2; Q is CH, N, P, alkylidene, O, NR, S, or SO2; A is O, CH, alkylidene, NR, S, SO2, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO2, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO2, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

IT 394720-42-4P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

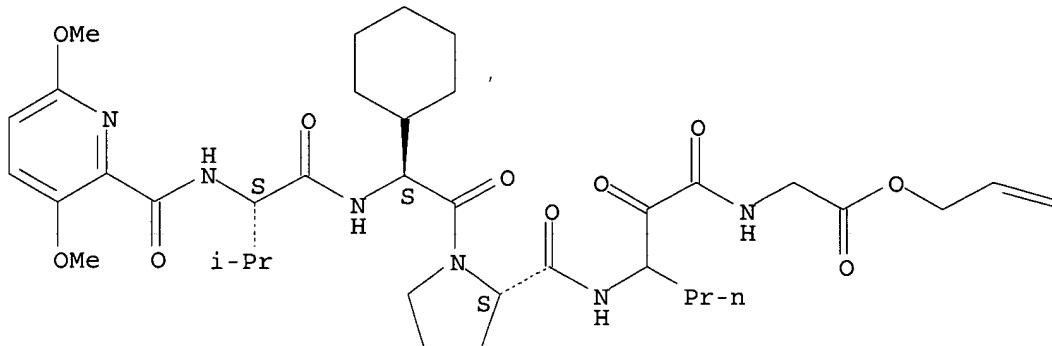
RN 394720-42-4 HCPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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PAGE 1-A



PAGE 1-B

= CH₂

L5 ANSWER 4 OF 7 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:90062 HCPLUS

DOCUMENT NUMBER: 136:167698

TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-Tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal N.; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.

PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.

SOURCE: PCT Int. Appl., 536 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002008244	A2	20020131	WO 2001-US22678	20010719
WO 2002008244	A3	20030619		

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MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL,
TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA

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CA 2410662 AA 20020131 CA 2001-2410662 20010719

AU 2001076988 A5 20020205 AU 2001-76988 20010719

BR 2001012540 A 20030624 BR 2001-12540 20010719

EP 1385870 A2 20040204 EP 2001-954764 20010719

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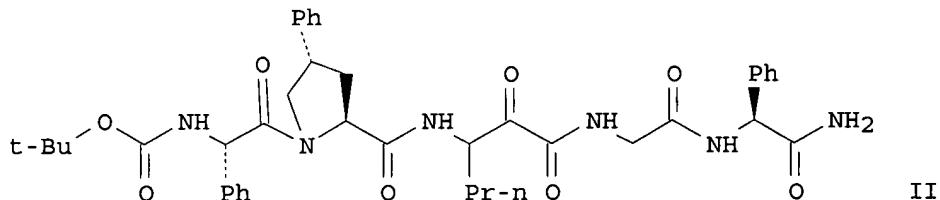
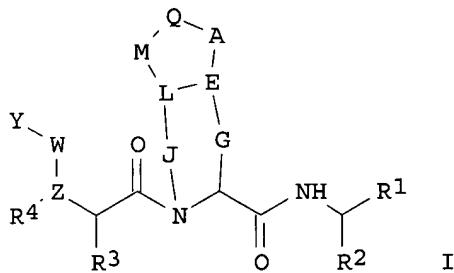
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NO 2003000272 A 20030321 NO 2003-272 20030120

PRIORITY APPLN. INFO.: US 2000-220108P P 20000721
WO 2001-US22678 W 20010719

OTHER SOURCE(S): MARPAT 136:167698

GI



AB Peptides I were prepared wherein Y is alkyl, alkyl-aryl, heteroaryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino and heterocycloalkylamino; R1 is acyl, borate; Z is selected from O, N, CH or CR; W, Q, G, J, L, M independently maybe present or absent; W is C=O, C=S, C(=N-CN), or SO; Q is CH, N, P, alkylidene, O, amine, S, or SO; A is O, CH, alkylidene, amine, S, SO or bond; E is CH, N, alkylidene, or double bond; G is alkylidene; J is alkylidene, SO, NH, NR, O; L is CH, alkylidene, O, S or NR; M is O, NR, S, SO, alkylidene; p is 0 to 6; and R-R4 are independently selected from the

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group consisting of H; alkyl; alkenyl; cycloalkyl; heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halogen; (cycloalkyl)alkyl and (heterocycloalkyl)alkyl, which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus peptide II was prepared and tested as antiviral agent and NS3-serine protease inhibitors of hepatitis C virus with Ki ranges in category A = 1-100 nM; category B = 101-1,000 nM; category C > 1000 nM. Also disclosed is the use of I for the manufacture of a medicament for treating HCV, AIDS, and related disorders.

IT 394720-42-4P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

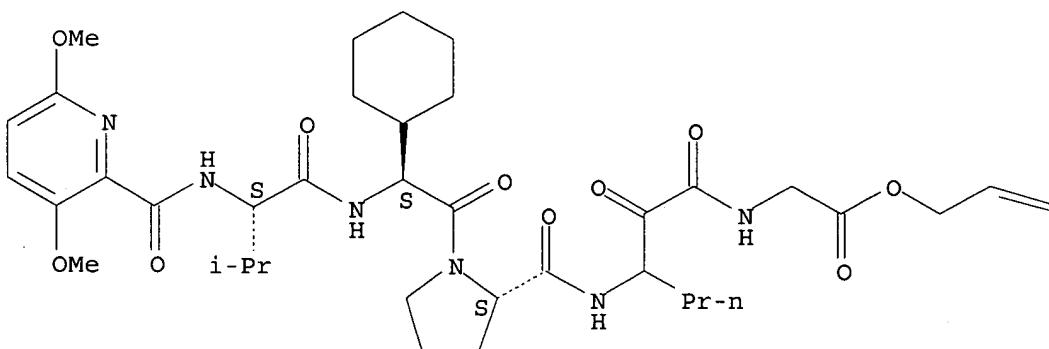
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394720-42-4 HCAPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

= CH₂

L5 ANSWER 5 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:819241 HCAPLUS

DOCUMENT NUMBER: 132:64530

TITLE: Preparation of diacyl hydrazine compounds as protease

Updated Search

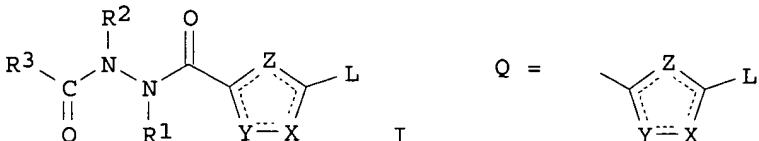
09830923

inhibitors

INVENTOR(S) : Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S) : Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966925	A1	19991229	WO 1999-US14561	19990624
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335876	AA	19991229	CA 1999-2335876	19990624
AU 9947237	A1	20000110	AU 1999-47237	19990624
EP 1093367	A1	20010425	EP 1999-930779	19990624
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002518444	T2	20020625	JP 2000-555611	19990624
PRIORITY APPLN. INFO.:			US 1998-90493P	P 19980624
			WO 1999-US14561	W 19990624

OTHER SOURCE(S) : MARPAT 132:64530
GI



AB The present invention provides compds. I [L = C2-6 alkyl, Ar- or Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; X, Y, Z = N, O, S, CR10; R1, R2, R5, R10 = H, C1-6 alkyl, C2-6 alkenyl, Ar- or Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, heterocycle Q, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar- or Het-C0-6 alkyl, etc.; R6 = R14 or an acyl group such as R14CO, R14C(S), R14OCO (R14 = C1-6 alkyl, C2-6 alkenyl, Ar- or Het C0-6 alkyl); R7 = C1-6 alkyl, C1-6 alkenyl, C3-6 cycloalkyl-, Ar-, or Het-C0-6 alkyl], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis, gingival disease, and arthritis. Thus, N-[2-[N-cyclopropyl-N-(cyclopropylmethyl)aminothiazol-4-ylcarbonyl]-N'-(N-(6-methyl-3-pyridinylmethoxycarbonyl)-L-β-tert-butylalanyl)hydrazide was prepared via sequential reactions of Et 6-nicotinate, L-β-tert-butylalanine, cyclopropylamine, cyclopropylcarboxaldehyde, benzoyl isothiocyanate, and Et bromopyruvate.

IT 253314-50-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic

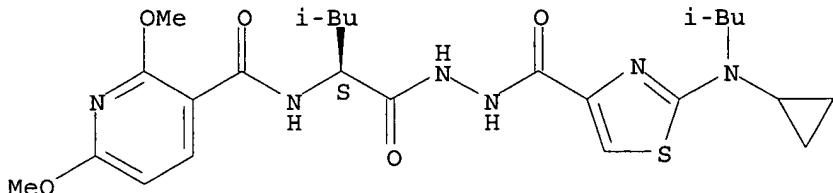
09830923

use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diacyl hydrazine compds. as protease inhibitors)

RN 253314-50-0 HCAPLUS

CN 4-Thiazolecarboxylic acid, 2-[cyclopropyl(2-methylpropyl)amino]-,
2-[(2S)-2-[[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-
oxopentyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 7 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:753058 HCAPLUS

DOCUMENT NUMBER: 132:426

TITLE: Diacyl carbohydrazide compounds as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation

INVENTOR(S): Halbert, Stacie Marie; Thompson, Scott Kevin; Veber, Daniel Frank

PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959570	A1	19991125	WO 1998-US17275	19980820
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2332492	AA	19991125	CA 1998-2332492	19980820
AU 9891102	A1	19991206	AU 1998-91102	19980820
EP 1079821	A1	20010307	EP 1998-943273	19980820
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002515428	T2	20020528	JP 2000-549235	19980820
PRIORITY APPLN. INFO.:			US 1998-86553P	P 19980521
			WO 1998-US17275	W 19980820

OTHER SOURCE(S): MARPAT 132:426

AB The present invention provides diacyl carbohydrazide compds., and pharmaceutically acceptable salts, hydrates and solvates thereof, which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., novel intermediates of such compds., and methods for treating

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diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia of malignancy; and metabolic bone disease, comprising inhibiting said bone loss or excessive cartilage or matrix degradation by administering to a patient in need thereof a compound of the present invention.

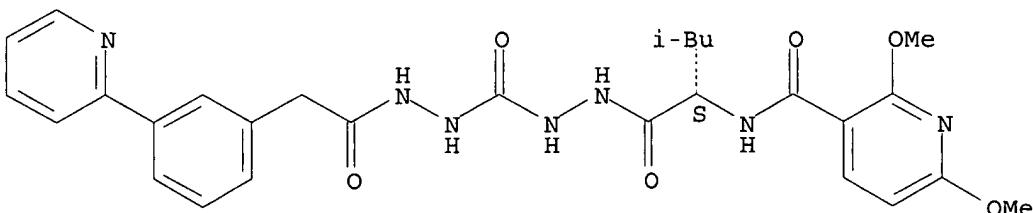
IT 250726-27-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (diacyl carbohydrazide compds. as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation)

RN 250726-27-3 HCPLUS

CN Benzeneacetic acid, 3-(2-pyridinyl)-, 2-[[2-[(2S)-2-[[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 7 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:268513 HCPLUS

DOCUMENT NUMBER: 128:321945

TITLE: Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease

INVENTOR(S): Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.

SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

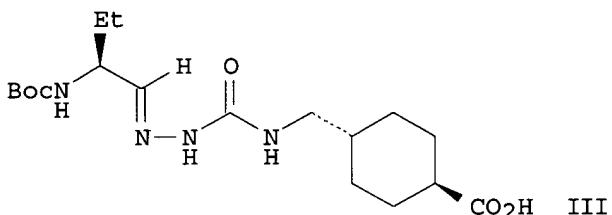
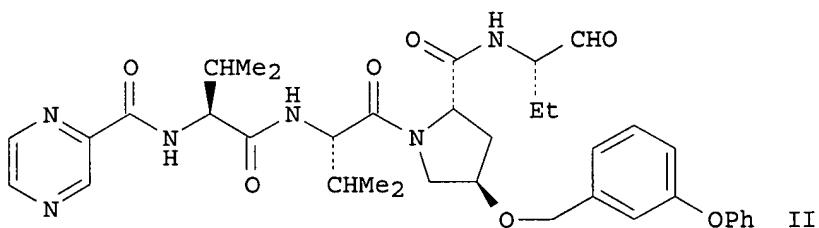
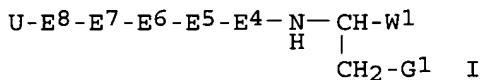
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817679	A1	19980430	WO 1997-US18968	19971017
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				

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RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR,
GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA,
GN, ML, MR, NE, SN, TD, TG

CA 2268391	AA	19980430	CA 1997-2268391	19971017
ZA 9709327	A	19980511	ZA 1997-9327	19971017
AU 9851477	A1	19980515	AU 1998-51477	19971017
AU 719984	B2	20000518		
EP 932617	A1	19990804	EP 1997-946273	19971017
EP 932617	B1	20020116		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
IN 183120	A	19990911	IN 1997-CA1951	19971017
BR 9712544	A	19991019	BR 1997-12544	19971017
CN 1238780	A	19991215	CN 1997-180151	19971017
CN 1133649	B	20040107		
NZ 335276	A	20000929	NZ 1997-335276	19971017
JP 2001502694	T2	20010227	JP 1998-519568	19971017
EP 1136498	A1	20010926	EP 2001-109433	19971017
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AP 1019	A	20011016	AP 1999-1512	19971017
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AT 212037	E	20020215	AT 1997-946273	19971017
ES 2169880	T3	20020716	ES 1997-946273	19971017
EE 4023	B1	20030415	EE 1999-161	19971017
TW 530065	B	20030501	TW 1997-86115382	19971018
NO 9901832	A	19990617	NO 1999-1832	19990416
US 6265380	B1	20010724	US 1999-293247	19990416
KR 2000049263	A	20000725	KR 1999-703372	19990417
HK 1023779	A1	20020927	HK 2000-100690	20000203
US 2002032175	A1	20020314	US 2001-875390	20010606
US 6617309	B2	20030909		
US 2004266731	A1	20041230	US 2003-607716	20030627
PRIORITY APPLN. INFO.:				
OTHER SOURCE(S) : MARPAT 128:321945				
GI				
US 1996-28290P P 19961018				
EP 1997-946273 A3 19971017				
WO 1997-US18968 W 19971017				
US 1999-293247 A 19990416				
US 2001-875390 A3 20010606				



AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkenyl, alkynyl, CF₃, C₁₋₂ alkoxy, C₁₋₂ alkylthio, (un)substituted C₁₋₃ alkyl; W1 = COCF₂CH₂N(G₄)U, CHO, COG₂, COCF₂CF₃, COCOG₂, COCO₂G₂, B(Q₁)₂; G₂ = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G₄ = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q₁ = OH, alkoxy, aryloxy, or Q₁-Q₁ form a 5-7 membered ring; U = H, G₉CO, G₉SO₂, G₉COCO, (G₉)₂NCOCO, (G₉)₂NSO₂, (G₉)₂NCO, G₉O₂C; G₉ = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G₉-G₉ form a ring; E₄ = bond, α-amino acid residue, heterocyclic amino acid; E₅-E₈ = independently bond, amino acid residue; 1-2 peptide bonds between E₅-E₈ may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki < 1 μM in an in vitro assay.

IT 207001-81-8P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

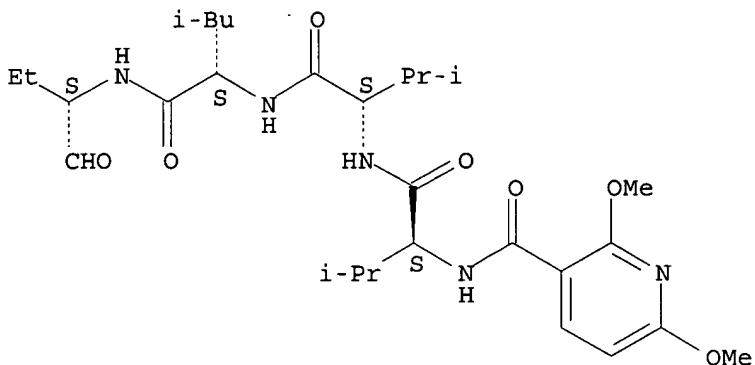
RN 207001-81-8 HCPLUS

CN L-Leucinamide, N-[(2,6-dimethoxy-3-pyridinyl)carbonyl]-L-valyl-L-valyl-N-

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[(1S)-1-formylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 14:37:04 ON 06 SEP 2006)

FILE 'REGISTRY' ENTERED AT 14:38:25 ON 06 SEP 2006

L1 STRUCTURE uploaded
L2 2 S L1
L3 10 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 14:39:39 ON 06 SEP 2006

L4 13 S L3
L5 7 S L3/THU
L6 7 S L3/THU OR L3/DMA
L7 6 S L3/PAC
L8 3 S L5 NOT L7

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L8 ANSWER 1 OF 3 HCAPLUS. COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:819241 HCAPLUS
DOCUMENT NUMBER: 132:64530
TITLE: Preparation of diacyl hydrazine compounds as protease inhibitors
INVENTOR(S): Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
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WO 9966925	A1	19991229	WO 1999-US14561	19990624

09830923

W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX,
NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK,
ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,
CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

CA 2335876 AA 19991229 CA 1999-2335876 19990624

AU 9947237 A1 20000110 AU 1999-47237 19990624

EP 1093367 A1 20010425 EP 1999-930779 19990624

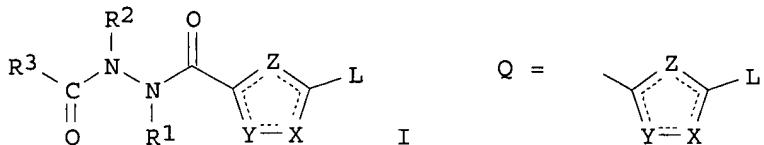
R: BE, CH, DE, ES, FR, GB, IT, LI, NL

JP 2002518444 T2 20020625 JP 2000-555611 19990624

PRIORITY APPLN. INFO.: US 1998-90493P P 19980624
WO 1999-US14561 W 19990624

OTHER SOURCE(S): MARPAT 132:64530

GI



AB The present invention provides compds. I [L = C2-6 alkyl, Ar- or Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; X, Y, Z = N, O, S, CR10; R1, R2, R5, R10 = H, C1-6 alkyl, C2-6 alkenyl, Ar- or Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, heterocycle Q, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar- or Het-C0-6 alkyl, etc.; R6 = R14 or an acyl group such as R14CO, R14C(S), R14OCO (R14 = C1-6 alkyl, C2-6 alkenyl, Ar- or Het C0-6 alkyl); R7 = C1-6 alkyl, C1-6 alkenyl, C3-6 cycloalkyl-, Ar-, or Het-C0-6 alkyl], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis, gingival disease, and arthritis. Thus, N-[2-[N-cyclopropyl-N-(cyclopropylmethyl)amino]thiazol-4-ylcarbonyl]-N'-(N-(6-methyl-3-pyridinylmethoxycarbonyl)-L-β-tert-butylalanyl)hydrazide was prepared via sequential reactions of Et 6-nicotinate, L-β-tert-butylalanine, cyclopropylamine, cyclopropylcarboxaldehyde, benzoyl isothiocyanate, and Et bromopyruvate.

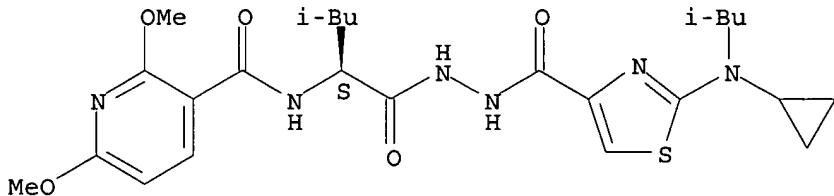
IT 253314-50-0P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of diacyl hydrazine compds. as protease inhibitors)

RN 253314-50-0 HCPLUS

CN 4-Thiazolecarboxylic acid, 2-[cyclopropyl(2-methylpropyl)amino]-, 2-[(2S)-2-[[2,6-dimethoxy-3-pyridinyl]carbonyl]amino]-4-methyl-1-oxopentyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

09830923



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:753058 HCAPLUS

DOCUMENT NUMBER: 132:426

TITLE: Diacyl carbohydrazide compounds as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation

INVENTOR(S): Halbert, Stacie Marie; Thompson, Scott Kevin; Veber, Daniel Frank

PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959570	A1	19991125	WO 1998-US17275	19980820
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2332492	AA	19991125	CA 1998-2332492	19980820
AU 9891102	A1	19991206	AU 1998-91102	19980820
EP 1079821	A1	20010307	EP 1998-943273	19980820
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002515428	T2	20020528	JP 2000-549235	19980820
PRIORITY APPLN. INFO.:			US 1998-86553P	P 19980521
			WO 1998-US17275	W 19980820

OTHER SOURCE(S): MARPAT 132:426

AB The present invention provides diacyl carbohydrazide compds., and pharmaceutically acceptable salts, hydrates and solvates thereof, which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., novel intermediates of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia of malignancy; and metabolic bone disease, comprising inhibiting said bone loss or excessive cartilage or matrix degradation by administering to a patient in need thereof a compound of the present invention.

IT 250726-27-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological

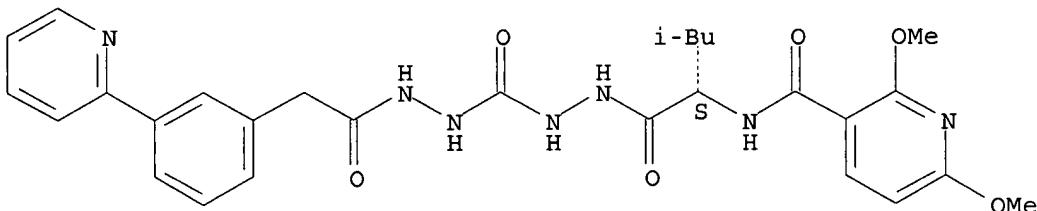
09830923

study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (diacyl carbohydrazide compds. as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation)

RN 250726-27-3 HCAPLUS

CN Benzeneacetic acid, 3-[2-pyridinyl]-, 2-[[2-[(2S)-2-[[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:268513 HCAPLUS

DOCUMENT NUMBER: 128:321945

TITLE: Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease
Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.

INVENTOR(S): Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.

PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.

SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817679	A1	19980430	WO 1997-US18968	19971017
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2268391	AA	19980430	CA 1997-2268391	19971017
ZA 9709327	A	19980511	ZA 1997-9327	19971017
AU 9851477	A1	19980515	AU 1998-51477	19971017
AU 719984	B2	20000518		
EP 932617	A1	19990804	EP 1997-946273	19971017
EP 932617	B1	20020116		

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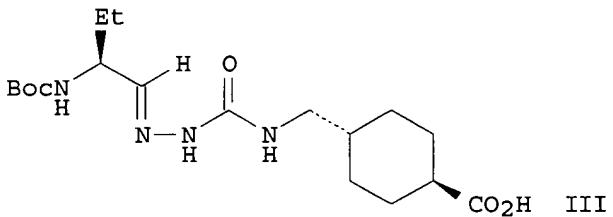
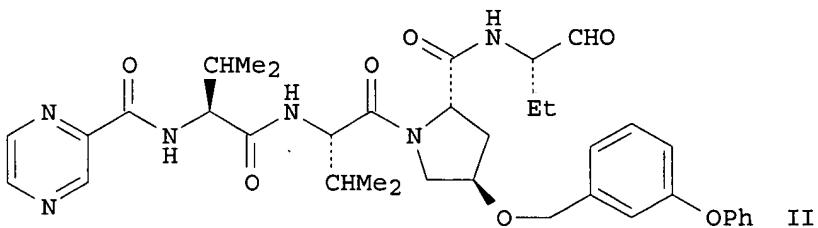
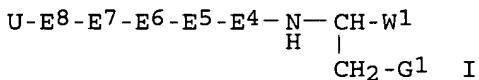
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO

IN 183120	A	19990911	IN 1997-CA1951	19971017
BR 9712544	A	19991019	BR 1997-12544	19971017
CN 1238780	A	19991215	CN 1997-180151	19971017
CN 1133649	B	20040107		
NZ 335276	A	20000929	NZ 1997-335276	19971017
JP 2001502694	T2	20010227	JP 1998-519568	19971017
EP 1136498	A1	20010926	EP 2001-109433	19971017
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
AP 1019	A	20011016	AP 1999-1512	19971017
W: GH, KE, LS, MW, SD, SZ, UG, ZW				
AT 212037	E	20020215	AT 1997-946273	19971017
ES 2169880	T3	20020716	ES 1997-946273	19971017
EE 4023	B1	20030415	EE 1999-161	19971017
TW 530065	B	20030501	TW 1997-86115382	19971018
NO 9901832	A	19990617	NO 1999-1832	19990416
US 6265380	B1	20010724	US 1999-293247	19990416
KR 2000049263	A	20000725	KR 1999-703372	19990417
HK 1023779	A1	20020927	HK 2000-100690	20000203
US 2002032175	A1	20020314	US 2001-875390	20010606
US 6617309	B2	20030909		
US 2004266731	A1	20041230	US 2003-607716	20030627

PRIORITY APPLN. INFO.:

US 1996-28290P	P	19961018
EP 1997-946273	A3	19971017
WO 1997-US18968	W	19971017
US 1999-293247	A	19990416
US 2001-875390	A3	20010606

OTHER SOURCE(S) : MARPAT 128:321945
GI



AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkynyl, alkynyl, CF₃, C₁-2 alkoxy, C₁-2 alkylthio, (un)substituted C₁-3 alkyl; W1 = COCF₂CH₂N(G4)U, CHO, COG₂, COCF₂CF₃, COCOG₂, COCO₂G₂, B(Q1)₂; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q1 = OH, alkoxy, aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G₉CO, G₉SO₂, G₉COCO, (G₉)₂NCOCO, (G₉)₂NSO₂, (G₉)₂NCO, G₉O₂C; G₉ = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G₉-G₉ form a ring; E4 = bond, α-amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki < 1 μM in an in vitro assay.

IT 207001-81-8P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses) (preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

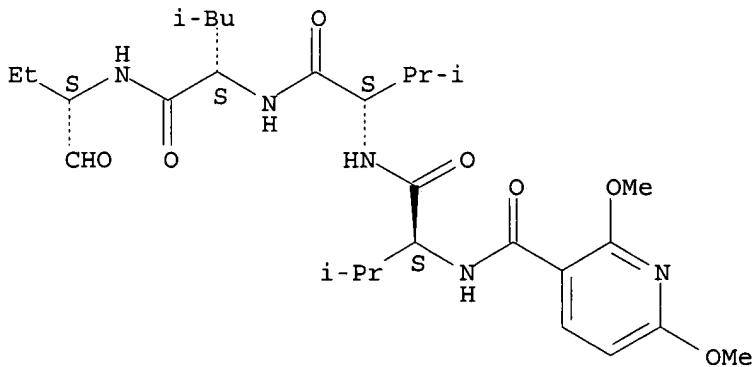
RN 207001-81-8 HCPLUS

CN L-Leucinamide, N-[(2,6-dimethoxy-3-pyridinyl)carbonyl]-L-valyl-L-valyl-N-

09830923

[(1S)-1-formylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



=> d his

(FILE 'HOME' ENTERED AT 14:37:04 ON 06 SEP 2006)

FILE 'REGISTRY' ENTERED AT 14:38:25 ON 06 SEP 2006

L1 STRUCTURE uploaded
L2 2 S L1
L3 10 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 14:39:39 ON 06 SEP 2006

L4 13 S L3
L5 7 S L3/THU
L6 7 S L3/THU OR L3/DMA
L7 6 S L3/PAC
L8 3 S L5 NOT L7

=> s l3/pkt
13 L3
33607 PKT/RL
L9 0 L3/PKT
(L3 (L) PKT/RL)

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13 L3
1017580 BAC/RL
L10 3 L3/BAC
(L3 (L) BAC/RL)

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L11 0 L10 NOT L8

=> s l3/?therap?
'?THERAP?' IS NOT A VALID CROSSOVER QUALIFIER FOR L3
Answer sets created in a different file may be field qualified with a
limited set of qualifiers. Enter HELP CROSSOVER at an arrow prompt
(=>) for specific information.

Updated Search

09830923

=> s l3 and ?therap?
13 L3
559034 ?THERAP?
L12 1 L3 AND ?THERAP?

=> d l12, ibib abs hitstr, 1

L12 ANSWER 1 OF 1 HCPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:753058 HCPLUS
DOCUMENT NUMBER: 132:426
TITLE: Diacyl carbohydrazide compounds as protease inhibitors
for treating diseases of excessive bone loss or
cartilage or matrix degradation
INVENTOR(S): Halbert, Stacie Marie; Thompson, Scott Kevin; Veber,
Daniel Frank
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9959570	A1	19991125	WO 1998-US17275	19980820
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2332492	AA	19991125	CA 1998-2332492	19980820
AU 9891102	A1	19991206	AU 1998-91102	19980820
EP 1079821	A1	20010307	EP 1998-943273	19980820
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002515428	T2	20020528	JP 2000-549235	19980820
PRIORITY APPLN. INFO.:			US 1998-86553P	P 19980521
			WO 1998-US17275	W 19980820

OTHER SOURCE(S): MARPAT 132:426

AB The present invention provides diacyl carbohydrazide compds., and pharmaceutically acceptable salts, hydrates and solvates thereof, which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., novel intermediates of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia of malignancy; and metabolic bone disease, comprising inhibiting said bone loss or excessive cartilage or matrix degradation by administering to a patient in need thereof a compound of the present invention.

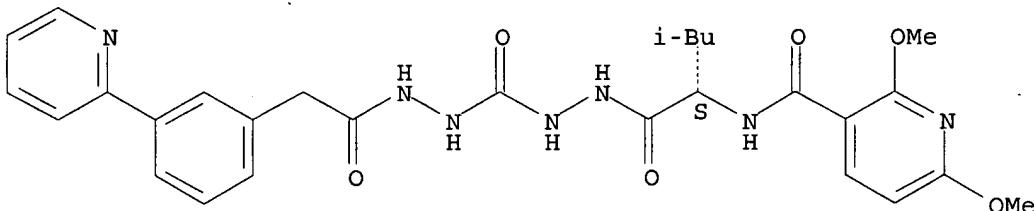
IT 250726-27-3P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diacyl carbohydrazide compds. as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation)

09830923

RN 250726-27-3 HCAPLUS
CN Benzeneacetic acid, 3-(2-pyridinyl)-, 2-[2-[(2S)-2-[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> d his

(FILE 'HOME' ENTERED AT 14:37:04 ON 06 SEP 2006)

FILE 'REGISTRY' ENTERED AT 14:38:25 ON 06 SEP 2006

L1 STRUCTURE uploaded
L2 2 S L1
L3 10 S L1 FULL

FILE 'HCAPLUS' ENTERED AT 14:39:39 ON 06 SEP 2006

L4 13 S L3
L5 7 S L3/THU
L6 7 S L3/THU OR L3/DMA
L7 6 S L3/PAC
L8 3 S L5 NOT L7
L9 0 S L3/PKT
L10 3 S L3/BAC
L11 0 S L10 NOT L8
L12 1 S L3 AND ?THERAP?

=> s l3 and ?drug?

13 L3

840846 ?DRUG?

L13 4 L3 AND ?DRUG?

=> s l13 not l5

L14 3 L13 NOT L5

=> s l14 not l10

L15 3 L14 NOT L10

=> d l15, ibib abs hitstr, 1-3

L15 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:193407 HCAPLUS

DOCUMENT NUMBER: 144:273163

TITLE: Aromatic amides and ureas and their uses as sweet and/or umami flavor modifiers, tastants and taste

09830923

enhancers

INVENTOR(S) : Tachdjian, Catherine; Patron, Andrew P.; Qi, Ming; Adamski-Werner, Sara L.; Tang, Xiao-Qing; Chen, Qing; Darmohusodo, Vincent; Lebl-Rinnova, Marketa; Priest, Chad

PATENT ASSIGNEE(S) : USA

SOURCE: U.S. Pat. Appl. Publ., 168 pp., Cont.-in-part of U.S. Ser. No. 913,303.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2006045953	A1	20060302	US 2005-51567	20050204
US 2005084506	A1	20050421	US 2004-913303	20040806
WO 2005041684	A2	20050512	WO 2004-US25419	20040806
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
WO 2006084246	A2	20060810	WO 2006-US4132	20060206
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
PRIORITY APPLN. INFO. :			US 2004-913303	A2 20040806
			WO 2004-US25419	A2 20040806
			US 2003-494071P	P 20030806
			US 2004-552064P	P 20040309
			US 2005-51567	A 20050204

OTHER SOURCE(S) : MARPAT 144:273163

AB Non-natural amide compds. added to food, beverages, or pharmaceuticals at concns. preferably on the order of 100 ppm or lower may serve as savory (umami) or sweet taste modifiers, savory or sweet flavoring agents, and savory or sweet flavor enhancers. They may also act in the presence of, or in mixts. with, conventional flavoring agents such as monosodium glutamate or known natural and artificial sweeteners. Thus, 3 μ M N1-(2,4-dimethoxybenzyl)-N2-(2-(pyridin-2-yl)ethyl)oxalamide enhanced the savory taste of glutamate in low-sodium tomato juice by 1.4 to 1.5-fold.

IT 851669-82-4P
RL: FFD (Food or feed use); PAC (Pharmacological activity); PRP

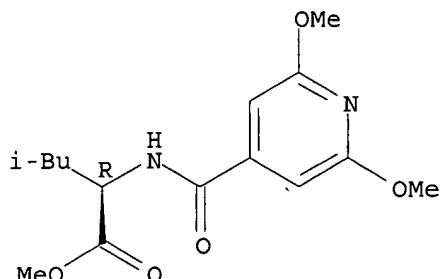
09830923

(Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aromatic amides and ureas as sweetness or umami flavor modifiers)

RN 851669-82-4 HCPLUS

CN D-Leucine, N-[(2,6-dimethoxy-4-pyridinyl)carbonyl]-, methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L15 ANSWER 2 OF 3 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:405341 HCPLUS

DOCUMENT NUMBER: 142:462667

TITLE: Novel flavors, flavor modifiers, tastants, taste enhancers, umami or sweet tastants, and/or enhancers and use thereof

INVENTOR(S): Tachdjian, Catherine; Patron, Andrew P.; Adamski-Werner, Sara L.; Bakir, Farid; Chen, Qing; Darmohusodo, Vincent; Hobson, Stephen Terrence; Li, Xiaodong; Qi, Ming; Rogers, Daniel Harry; Rinnova, Marketa; Servant, Guy; Tang, Xiao-Qing; Zoller, Mark; Wallace, Mark; Xing, Amy; Gubernator, Klaus

PATENT ASSIGNEE(S): Senomyx Inc., USA

SOURCE: PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005041684	A2	20050512	WO 2004-US25419	20040806
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AU 2004285410	A1	20050512	AU 2004-285410	20040806
CA 2535036	AA	20050512	CA 2004-2535036	20040806
EP 1659881	A2	20060531	EP 2004-816798	20040806

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

US 2006045953 A1 20060302 US 2005-51567 20050204

PRIORITY APPLN. INFO.: US 2003-494071P P 20030806
US 2004-552064P P 20040309
US 2004-913303 A2 20040806
WO 2004-US25419 W 20040806

OTHER SOURCE(S): MARPAT 142:462667

AB Flavor or taste modifiers, such as a flavoring or flavoring agents and flavor or trite enhancer, more particularly, savory (the 'umami' taste of monosodium glutamate) or sweet taste modifiers, - savory or sweet flavoring agents and savory or sweet flavor enhancers, were prepared for food, beverages, and other comestible or orally administered medicinal products or compns. Thus, non-naturally occurring, non-peptide arride compds. and amide derivs., such as oxalamides, ureas, and acrylamides, were prepared

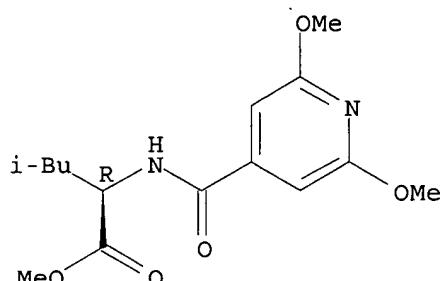
IT 851669-82-4P

RL: FFD (Food or feed use); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(flavors, flavor modifiers, tastants, taste enhancers, umami or sweet tastants, and/or enhancers and their use)

RN 851669-82-4 HCPLUS

CN D-Leucine, N-[(2,6-dimethoxy-4-pyridinyl)carbonyl]-, methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L15 ANSWER 3 OF 3 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1967:482064 HCPLUS

DOCUMENT NUMBER: 67:82064

TITLE: Drugs from β -phenylisopropylamines. I.
Derivatives containing a pyridine ring

AUTHOR(S): Kudryashova, N. I.; Khromov-Borisov, N. V.

CORPORATE SOURCE: Inst. Eksperim. Med. Akad. Med. Nauk., Leningrad, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1967), 3(6), 1117-21

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB A series of the title compds. of general formula PhCH₂CMeHNHR (I) was synthesized. Compds. I (R = isonicotinyl) and I (R = 4-pyridyl) have sedative and hypotensive activities. The compds. were prepared by treating 2-R₁-substituted, 6-R₂-substituted isonicotinyl chloride (II) with PhCH₂CMeHNH₂. For example, to 15 g. isonicotinic acid 45 ml. SOCl₂ was added slowly. The mixture was boiled to dissolve all the solids and evaporated to dryness in vacuum. The residue was dissolved in 60 ml. anhydrous benzene

09830923

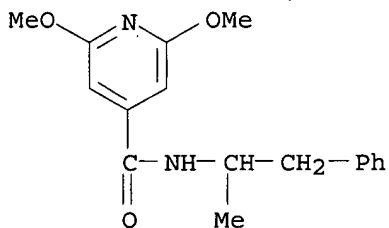
and 55 ml. PhCH₂CMeHNH₂ was added slowly. The mixture was refluxed 3 hrs., washed with water, dried with K₂CO₃, and evaporated in vacuo. The residue was crystallized from MeOH to give 50.6% I (R = isonicotinyl) m. 11-12.5° (HCl salt m. 92-4°). II (R₁ = R₂ = Cl), m. 208-9° (alc.-water), was prepared in 91% yield by action of POCl₃ on II (R₁ = R₂ = OH). Heating II (R₁ = R₂ = Cl) with NaOMe gave 93.3% II (R₁ = Cl, R₂ = OMe) m. 212-13° (alc.-water), and II (R₁ = R₂ = OMe), m. 226.5-28° (MeOH) (yield not given). Treating II with PhCH₂CMeHNH₂ gave the following I (R, % yield, and m.p. given): 2,6-dichloroisonicotinyl, 96.3, 137.5-38° (alc.-water); 2,6-dimethoxyisonicotinyl, 62, 88-91° (AcMe); 2-chloro-6-methoxyisonicotinyl, 60.8, 102-4° (alc.-water). Reaction of cinchoninyl chloride (prepared in situ from cinchoninic acid and SOCl₂) with PhCH₂CMeHNH₂ gave 74.1% I (R = cinchoninyl), m. 140-4° (alc.-water). (HCl salt m. 205-7°). Similarly, I (R = 9-acridinylcarbonyl), m. 200-2° (alc.-water) (yield 84.5%) (HCl salt m. 282-3°) was prepared. Heating a mixture of 2.85 g. I (R = 2,6-dichloroisonicotinyl) and 15 ml. Et₂NH in a sealed tube 15 hrs. at 195-200° gave 70.1% I (R = 2,6-diethylaminoisonicotinyl), m. 167-9° (AcMe). The above sealed-tube reaction with apprx. 1/2 the amount of Et₂NH gave 89.6% I (R = 2-chloro-6-ethylaminoisonicotinyl), m. 136-7° (alc.-water). Refluxing 2 hrs. at 200-5° a mixture of 6.05 g. PhCH₂CMeHNH₂.HCl with 6.22 g. 4-phenoxyypyridine, followed by dissoln. in water, steam distillation (to remove PhCH₂CMeHNH₂), acidification, 2nd steam distillation (to remove PhOH), neutralization, and crystallization of the organic layer gave 55.7% I (R = 4-pyridyl), m. 122-3° (alc.-water).

IT 15855-04-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 15855-04-6 HCPLUS

CN Isonicotinamide, 2,6-dimethoxy-N-(α -methylphenethyl)- (8CI) (CA
INDEX NAME)



=> d his

(FILE 'HOME' ENTERED AT 14:37:04 ON 06 SEP 2006)

FILE 'REGISTRY' ENTERED AT 14:38:25 ON 06 SEP 2006

L1 STRUCTURE UPLOADED

L2 2 S L1

L3 10 S L1 FULL

FILE 'HCPLUS' ENTERED AT 14:39:39 ON 06 SEP 2006

L4 13 S L3

L5 7 S L3/THU

09830923

L6 7 S L3/THU OR L3/DMA
L7 6 S L3/PAC
L8 3 S L5 NOT L7
L9 0 S L3/PKT
L10 3 S L3/BAC
L11 0 S L10 NOT L8
L12 1 S L3 AND ?THERAP?
L13 4 S L3 AND ?DRUG?
L14 3 S L13 NOT L5
L15 3 S L14 NOT L10

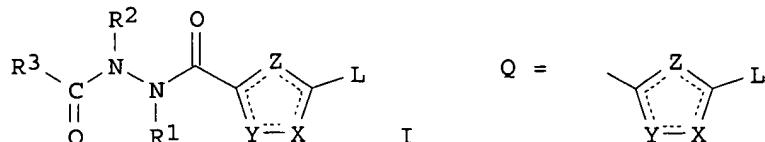
=> s l10 and ?pharm?
587427 ?PHARM?
L16 3 L10 AND ?PHARM?

=> d l16, ibib abs hitstr, 1-3

L16 ANSWER 1 OF 3 HCPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:819241 HCPLUS
DOCUMENT NUMBER: 132:64530
TITLE: Preparation of diacyl hydrazine compounds as protease inhibitors
INVENTOR(S): Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank
PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 167 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966925	A1	19991229	WO 1999-US14561	19990624
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2335876	AA	19991229	CA 1999-2335876	19990624
AU 9947237	A1	20000110	AU 1999-47237	19990624
EP 1093367	A1	20010425	EP 1999-930779	19990624
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002518444	T2	20020625	JP 2000-555611	19990624
PRIORITY APPLN. INFO.:			US 1998-90493P	P 19980624
			WO 1999-US14561	W 19990624

OTHER SOURCE(S): MARPAT 132:64530
GI



09830923

AB The present invention provides compds. I [L = C2-6 alkyl, Ar- or Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; X, Y, Z = N, O, S, CR10; R1, R2, R5, R10 = H, C1-6 alkyl, C2-6 alkenyl, Ar- or Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, heterocycle Q, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar- or Het-C0-6 alkyl, etc.; R6 = R14 or an acyl group such as R14CO, R14C(S), R14OCO (R14 = C1-6 alkyl, C2-6 alkenyl, Ar- or Het C0-6 alkyl); R7 = C1-6 alkyl, C1-6 alkenyl, C3-6 cycloalkyl-, Ar-, or Het-C0-6 alkyl], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis, gingival disease, and arthritis. Thus, N-[2-[N-cyclopropyl-N-(cyclopropylmethyl)amino]thiazol-4-ylcarbonyl]-N'-(N-(6-methyl-3-pyridinylmethoxycarbonyl)-L-β-tert-butylalanyl)hydrazide was prepared via sequential reactions of Et 6-nicotinate, L-β-tert-butylalanine, cyclopropylamine, cyclopropylcarboxaldehyde, benzoyl isothiocyanate, and Et bromopyruvate.

IT 253314-50-0P

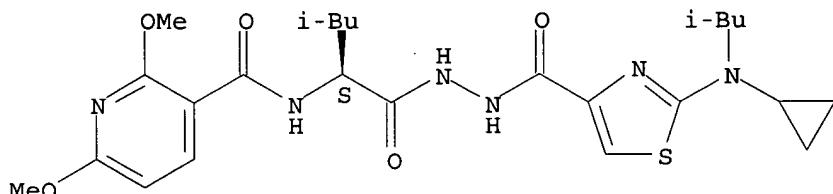
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of diacyl hydrazine compds. as protease inhibitors)

RN 253314-50-0 HCPLUS

CN 4-Thiazolecarboxylic acid, 2-[cyclopropyl(2-methylpropyl)amino]-, 2-[(2S)-2-[[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 3 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:753058 HCPLUS

DOCUMENT NUMBER: 132:426

TITLE: Diacyl carbohydrazide compounds as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation

INVENTOR(S): Halbert, Stacie Marie; Thompson, Scott Kevin; Veber, Daniel Frank

PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 74 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

09830923

WO 9959570	A1	19991125	WO 1998-US17275	19980820
W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM	RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
CA 2332492	AA	19991125	CA 1998-2332492	19980820
AU 9891102	A1	19991206	AU 1998-91102	19980820
EP 1079821	A1	20010307	EP 1998-943273	19980820
R: BE, CH, DE, ES, FR, GB, IT, LI, NL				
JP 2002515428	T2	20020528	JP 2000-549235	19980820
PRIORITY APPLN. INFO.:			US 1998-86553P	P 19980521
			WO 1998-US17275	W 19980820

OTHER SOURCE(S): MARPAT 132:426

AB The present invention provides diacyl carbohydrazide compds., and pharmaceutically acceptable salts, hydrates and solvates thereof, which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., novel intermediates of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia of malignancy; and metabolic bone disease, comprising inhibiting said bone loss or excessive cartilage or matrix degradation by administering to a patient in need thereof a compound of the present invention.

IT 250726-27-3P

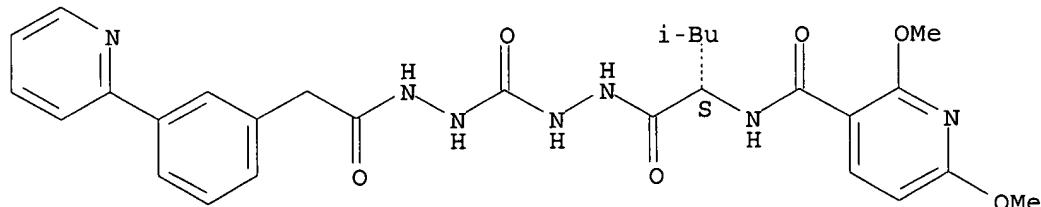
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(diacyl carbohydrazide compds. as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation)

RN 250726-27-3 HCPLUS

CN Benzeneacetic acid, 3-(2-pyridinyl)-, 2-[[2-[(2S)-2-[[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 3 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1998:268513 HCPLUS

DOCUMENT NUMBER: 128:321945

TITLE: Preparation of peptide analogs as inhibitors of serine

09830923

INVENTOR(S) : proteases, particularly hepatitis C virus NS3 protease
Tung, Roger D.; Harbeson, Scott L.; Deininger, David
D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer,
Luc J.

PATENT ASSIGNEE(S) : Vertex Pharmaceuticals Inc., USA; Tung, Roger D.;
Harbeson, Scott L.; Deininger, David D.; Murcko, Mark
A.; Bhisetti, Govinda Rao; Farmer, Luc J.

SOURCE: PCT Int. Appl., 128 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9817679	A1	19980430	WO 1997-US18968	19971017
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
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AU 9851477	A1	19980515	AU 1998-51477	19971017
AU 719984	B2	20000518		
EP 932617	A1	19990804	EP 1997-946273	19971017
EP 932617	B1	20020116		
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IN 183120	A	19990911	IN 1997-CA1951	19971017
BR 9712544	A	19991019	BR 1997-12544	19971017
CN 1238780	A	19991215	CN 1997-180151	19971017
CN 1133649	B	20040107		
NZ 335276	A	20000929	NZ 1997-335276	19971017
JP 2001502694	T2	20010227	JP 1998-519568	19971017
EP 1136498	A1	20010926	EP 2001-109433	19971017
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AP 1019	A	20011016	AP 1999-1512	19971017
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AT 212037	E	20020215	AT 1997-946273	19971017
ES 2169880	T3	20020716	ES 1997-946273	19971017
EE 4023	B1	20030415	EE 1999-161	19971017
TW 530065	B	20030501	TW 1997-86115382	19971018
NO 9901832	A	19990617	NO 1999-1832	19990416
US 6265380	B1	20010724	US 1999-293247	19990416
KR 2000049263	A	20000725	KR 1999-703372	19990417
HK 1023779	A1	20020927	HK 2000-100690	20000203
US 2002032175	A1	20020314	US 2001-875390	20010606
US 6617309	B2	20030909		
US 2004266731	A1	20041230	US 2003-607716	20030627
PRIORITY APPLN. INFO. :			US 1996-28290P	P 19961018
			EP 1997-946273	A3 19971017
			WO 1997-US18968	W 19971017
			US 1999-293247	A 19990416

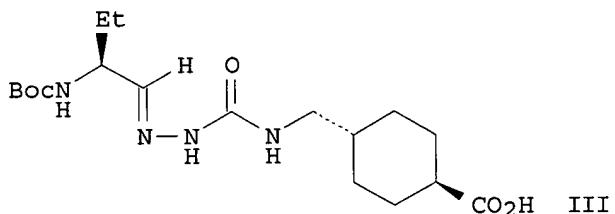
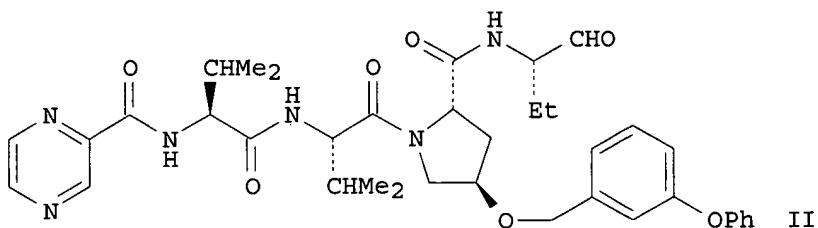
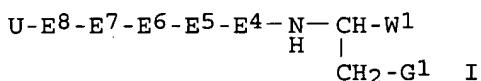
09830923

OTHER SOURCE(S) :
GI

MARPAT 128:321945

US 2001-875390

A3 20010606



AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkynyl, alkynyl, CF3, C1-2 alkoxy, C1-2 alkylthio, (un)substituted C1-3 alkyl; W1 = COCF2CH2N(G4)U, CHO, COG2, COCF2CF3, COCOG2, COCO2G2, B(Q1)2; G2 = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G4 = alky, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q1 = OH, alkoxy, aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G9CO, G9SO2, G9COCO, (G9)2NCOCO, (G9)2NSO2, (G9)2NCO, G9O2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G9-G9 form a ring; E4 = bond, α -amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki < 1 μM in an in vitro assay.

IT 207001-81-8P
RL: BAC (Biological activity or effector, except adverse); BSU

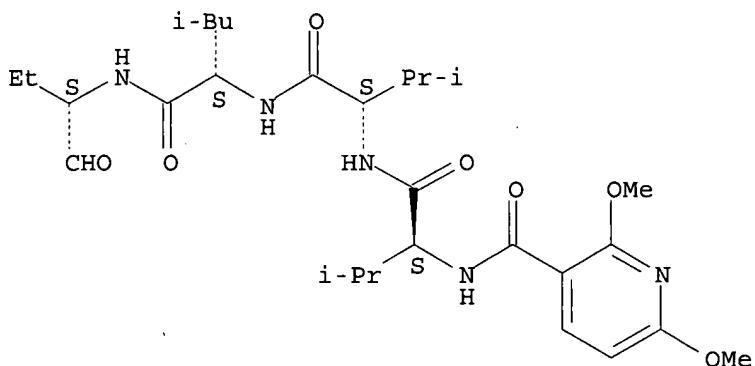
09830923

(Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

RN 207001-81-8 HCPLUS

CN L-Leucinamide, N-[(2,6-dimethoxy-3-pyridinyl)carbonyl]-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]- (9CI) (CA INDEX NAME)

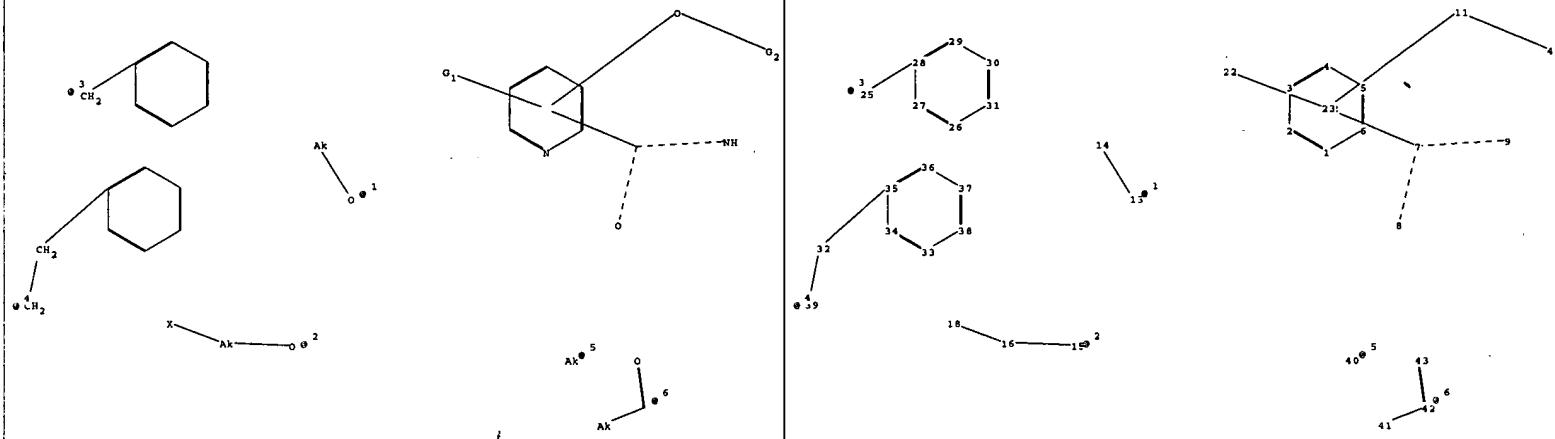
Absolute stereochemistry.



REFERENCE COUNT:

5

THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT



chain nodes :

7 8 9 11 13 14 15 16 18 22 25 32 39 40 41 42 43 46

ring nodes :

1 2 3 4 5 6 26 27 28 29 30 31 33 34 35 36 37 38

chain bonds :

7-8 7-9 11-46 13-14 15-16 16-18 25-28 32-35 32-39 41-42 42-43

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37
37-38

exact/norm bonds :

7-8 7-9 11-46 13-14 15-16 16-18 41-42 42-43

exact bonds :

25-28 32-35 32-39

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37
37-38

isolated ring systems :

containing 1 : 26 : 33 :

G1:[*1],[*2]

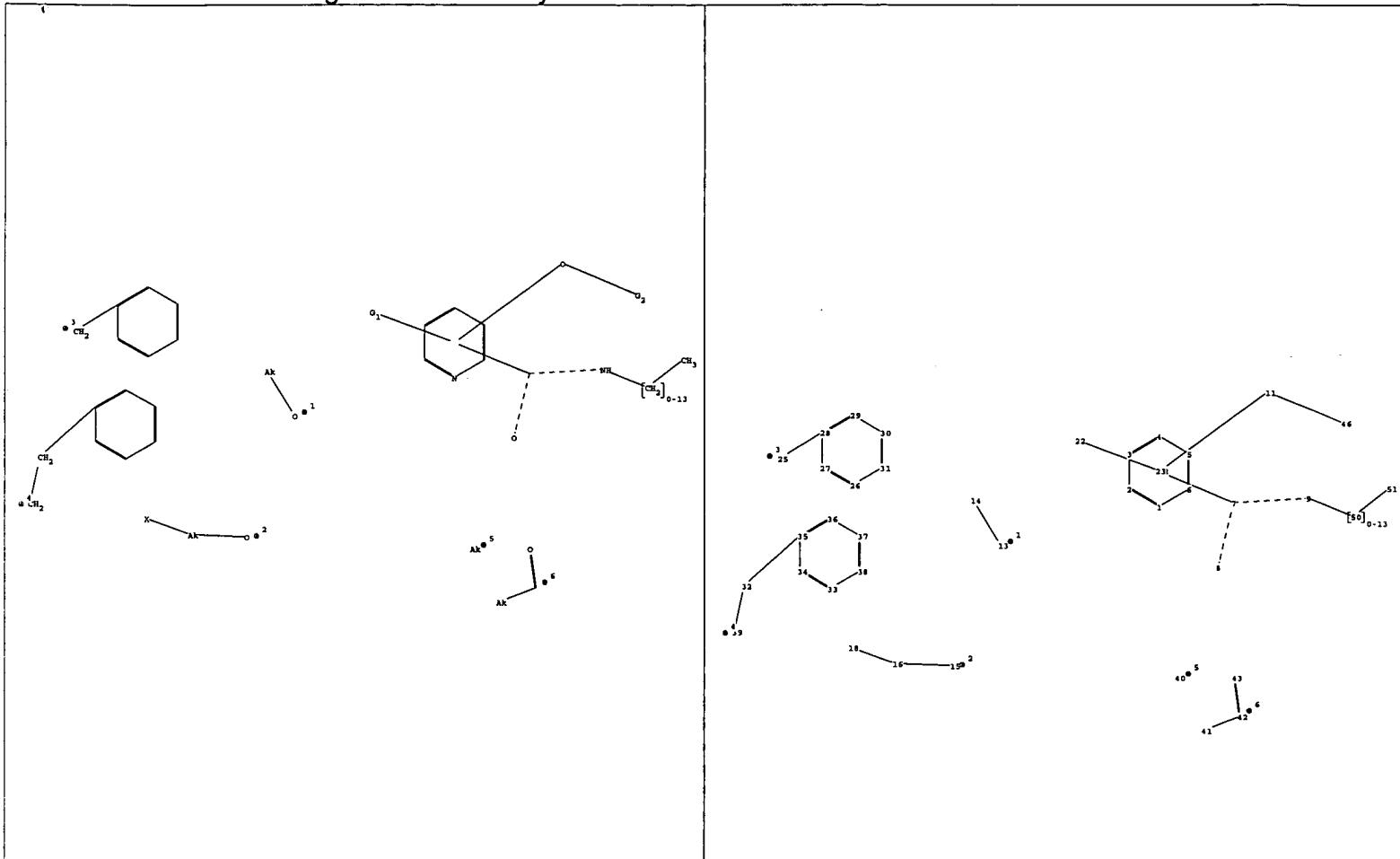
G2:[*3],[*4],[*5],[*6]

Connectivity :

'14:1 E exact RC ring/chain 40:1 E exact RC ring/chain 41:1 E exact RC ring/chain

Match level :

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13:CLASS14:CLASS15:CLASS16:CLASS18:CLASS22:CLASS23:Atom 25:CLASS26:Atom 27:Atom 28:Atom
29:Atom 30:Atom 31:Atom 32:CLASS33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:CLASS
40:CLASS41:CLASS42:CLASS43:CLASS46:CLASS



chain nodes :

7 8 9 11 13 14 15 16 18 22 25 32 39 40 41 42 43 46 50 51

ring nodes :

1 2 3 4 5 6 26 27 28 29 30 31 33 34 35 36 37 38

chain bonds :

7-8 7-9 9-50 11-46 13-14 15-16 16-18 25-28 32-35 32-39 41-42 42-43 50-51

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37
37-38

exact/norm bonds :

7-8 7-9 11-46 13-14 15-16 16-18 41-42 42-43

exact bonds :

9-50 25-28 32-35 32-39 50-51

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37
37-38

isolated ring systems :

containing 1 : 26 : 33 :

G1:[*1],[*2]

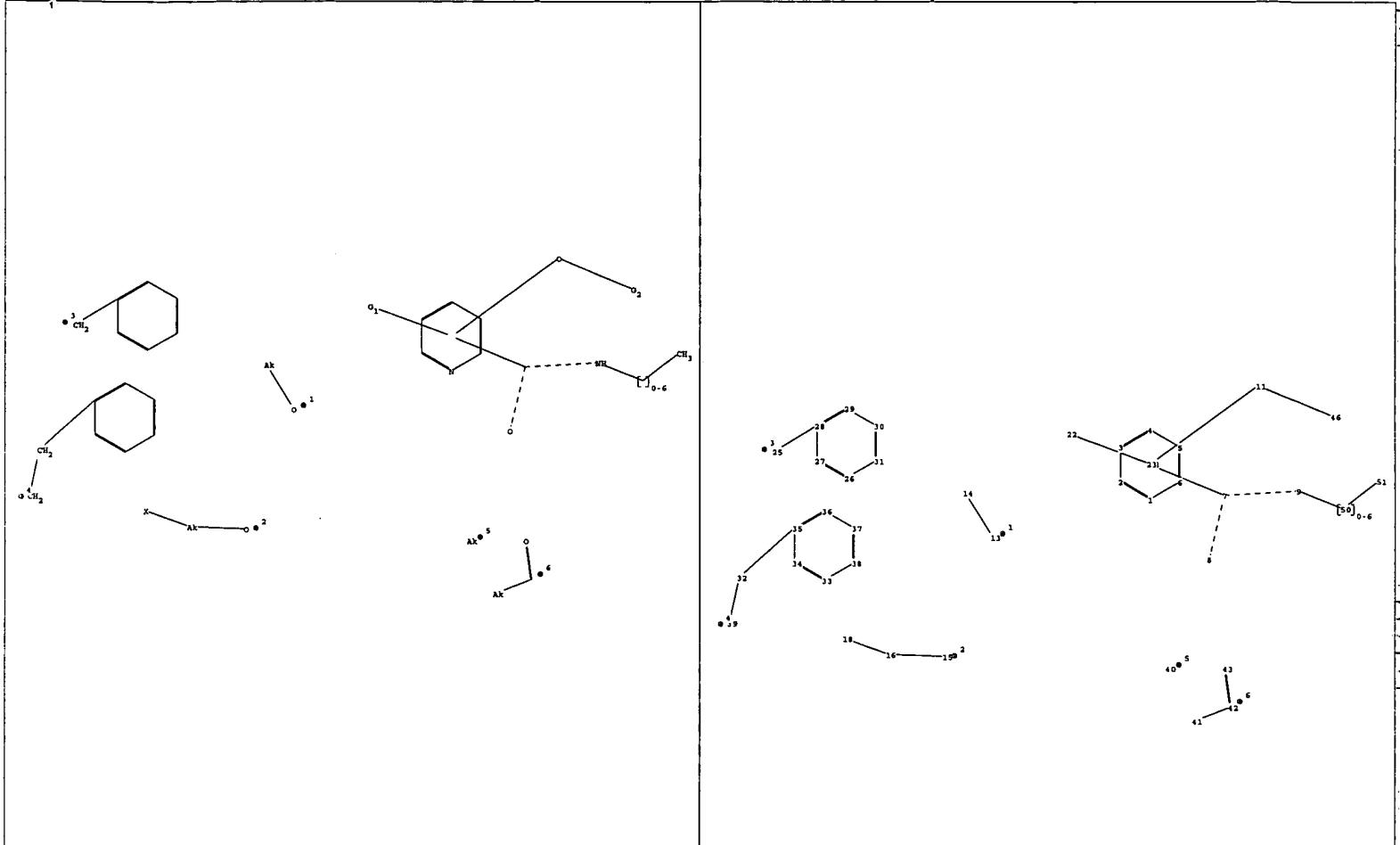
G2:[*3],[*4],[*5],[*6]

Connectivity :

14:1 E exact RC ring/chain 40:1 E exact RC ring/chain 41:1 E exact RC ring/chain

Match level :

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13:CLASS14:CLASS15:CLASS16:CLASS18:CLASS22:CLASS23:Atom 25:CLASS26:Atom 27:Atom 28:Atom
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40:CLASS41:CLASS42:CLASS43:CLASS46:CLASS50:CLASS51:CLASS



chain nodes :

7 8 9 11 13 14 15 16 18 22 25 32 39 40 41 42 43 46 50 51

ring nodes :

1 2 3 4 5 6 26 27 28 29 30 31 33 34 35 36 37 38

chain bonds :

7-8 7-9 9-50 11-46 13-14 15-16 16-18 25-28 32-35 32-39 41-42 42-43 50-51

ring bonds :

1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37
37-38

exact/norm bonds :

7-8 7-9 9-50 11-46 13-14 15-16 16-18 41-42 42-43

exact bonds :

25-28 32-35 32-39 50-51

normalized bonds :

1-2 1-6 2-3 3-4 4-5 5-6 26-27 26-31 27-28 28-29 29-30 30-31 33-34 33-38 34-35 35-36 36-37
37-38

isolated ring systems :

containing 1 : 26 : 33 :

G1:[*1],[*2]

G2:[*3],[*4],[*5],[*6]

Connectivity :

:14:1 E exact RC ring/chain 40:1 E exact RC ring/chain 41:1 E exact RC ring/chain

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:CLASS8:CLASS9:CLASS10:Atom 11:CLASS12:Atom
13:CLASS14:CLASS15:CLASS16:CLASS18:CLASS22:CLASS23:Atom 25:CLASS26:Atom 27:Atom 28:Atom
29:Atom 30:Atom 31:Atom 32:CLASS33:Atom 34:Atom 35:Atom 36:Atom 37:Atom 38:Atom 39:CLASS
40:CLASS41:CLASS42:CLASS43:CLASS46:CLASS50:CLASS51:CLASS

09830923

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID: sssptal612bxr

PASSWORD :

TERMINAL (ENTER 1, 2, 3, OR ?) :2

* * * * * * * * * * * * * Welcome to STN International * * * * * * * * * * *

NEWS 1 Web Page URLs for STN Seminar Schedule - N. America
NEWS 2 "Ask CAS" for self-help around the clock
NEWS 3 FEB 27 New STN AnaVist pricing effective March 1, 2006
NEWS 4 MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 5 MAY 11 KOREAPAT updates resume
NEWS 6 MAY 19 Derwent World Patents Index to be reloaded and enhanced
NEWS 7 MAY 30 IPC 8 Rolled-up Core codes added to CA/CAplus and
USPATFULL/USPAT2
NEWS 8 MAY 30 The F-Term thesaurus is now available in CA/CAplus
NEWS 9 JUN 02 The first reclassification of IPC codes now complete in
INPADOC
NEWS 10 JUN 26 TULSA/TULSA2 reloaded and enhanced with new search and
and display fields
NEWS 11 JUN 28 Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 12 JUL 11 CHEMSAFE reloaded and enhanced
NEWS 13 JUL 14 FSTA enhanced with Japanese patents
NEWS 14 JUL 19 Coverage of Research Disclosure reinstated in DWPI
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced
NEWS 17 AUG 30 CA(SM)/CAplus(SM) Austrian patent law changes

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS EXPRESS JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP), AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

| | |
|------------|---|
| NEWS HOURS | STN Operating Hours Plus Help Desk Availability |
| NEWS LOGIN | Welcome Banner and News Items |
| NEWS IPC8 | For general information regarding STN implementation of IPC 8 |
| NEWS X25 | X.25 communication option no longer available |

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FILE 'HOME' ENTERED AT 11:42:01 ON 06 SEP 2006

09830923

| | | |
|----------------------|------------|---------|
| => file reg | SINCE FILE | TOTAL |
| COST IN U.S. DOLLARS | ENTRY | SESSION |
| FULL ESTIMATED COST | 0.21 | 0.21 |

FILE 'REGISTRY' ENTERED AT 11:42:08 ON 06 SEP 2006
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STRUCTURE FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4
DICTIONARY FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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predicted properties as well as tags indicating availability of
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<http://www.cas.org/ONLINE/UG/regprops.html>

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\ety.str

L1 STRUCTURE uploaded

=> d l1
L1 HAS NO ANSWERS
L1 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l1
SAMPLE SEARCH INITIATED 11:45:33 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 50327 TO ITERATE

4.0% PROCESSED 2000 ITERATIONS 2 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **INCOMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 993157 TO 1019923
PROJECTED ANSWERS: 581 TO 1431

L2 2 SEA SSS SAM L1

Updated Search

09830923

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\poi.str

L3 STRUCTURE UPLOADED

=> d 13
L3 HAS NO ANSWERS
L3 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 13
SAMPLE SEARCH INITIATED 11:49:40 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 6920 TO ITERATE

28.9% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 133413 TO 143387
PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> s 13 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 11:49:44 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 138330 TO ITERATE

100.0% PROCESSED 138330 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.02

L5 0 SEA SSS FUL L3

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\poia.str

L6 STRUCTURE UPLOADED

=> d 16
L6 HAS NO ANSWERS
L6 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s 16
SAMPLE SEARCH INITIATED 11:53:54 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 26910 TO ITERATE

7.4% PROCESSED 2000 ITERATIONS 2 ANSWERS

Updated Search

09830923

INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 528388 TO 548012
PROJECTED ANSWERS: 227 TO 849

L7 2 SEA SSS SAM L6

=> s 16 full

THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS

DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y

FULL SEARCH INITIATED 11:53:59 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 536371 TO ITERATE

100.0% PROCESSED 536371 ITERATIONS
SEARCH TIME: 00.00.07

383 ANSWERS

L8 383 SEA SSS FUL L6

=> file hcplus
COST IN U.S. DOLLARS
FULL ESTIMATED COST

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| 341.80 | 342.01 |

FILE 'HCPLUS' ENTERED AT 11:54:10 ON 06 SEP 2006
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FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 18
L9 139 L8

=> file reg
COST IN U.S. DOLLARS
FULL ESTIMATED COST

| SINCE FILE ENTRY | TOTAL SESSION |
|------------------|---------------|
| 7.59 | 349.60 |

FILE 'REGISTRY' ENTERED AT 11:55:51 ON 06 SEP 2006

Updated Search

09830923

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STRUCTURE FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4
DICTIONARY FILE UPDATES: 5 SEP 2006 HIGHEST RN 905905-44-4

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

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conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/ONLINE/UG/regprops.html>

=>
Uploading C:\Documents and Settings\brobinson1\My Documents\stnweb\Queries\65656.str

L10 STRUCTURE uploaded

=> d l10
L10 HAS NO ANSWERS
L10 STR

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Structure attributes must be viewed using STN Express query preparation.

=> s l10
SAMPLE SEARCH INITIATED 11:58:09 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 20884 TO ITERATE

9.6% PROCESSED 2000 ITERATIONS 0 ANSWERS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 409030 TO 426330
PROJECTED ANSWERS: 0 TO 0

L11 0 SEA SSS SAM L10

=> s l10 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 11:58:14 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 416172 TO ITERATE

Updated Search

09830923

100.0% PROCESSED 416172 ITERATIONS
SEARCH TIME: 00.00.04

0 ANSWERS

L12 0 SEA SSS FUL L10

=>
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L13 STRUCTURE UPLOADED

=> s l13
SAMPLE SEARCH INITIATED 12:01:50 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 21638 TO ITERATE

9.2% PROCESSED 2000 ITERATIONS
INCOMPLETE SEARCH (SYSTEM LIMIT EXCEEDED)
SEARCH TIME: 00.00.01

2 ANSWERS

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 423956 TO 441564
PROJECTED ANSWERS: 153 TO 711

L14 2 SEA SSS SAM L13

=> s l13 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 12:01:57 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 430544 TO ITERATE

100.0% PROCESSED 430544 ITERATIONS
SEARCH TIME: 00.00.05

10 ANSWERS

L15 10 SEA SSS FUL L13

=> s l14 full
THE ESTIMATED SEARCH COST FOR FILE 'REGISTRY' IS 166.50 U.S. DOLLARS
DO YOU WANT TO CONTINUE WITH THIS REQUEST? (Y)/N or END:y
FULL SEARCH INITIATED 12:02:11 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 430544 TO ITERATE

100.0% PROCESSED 430544 ITERATIONS
SEARCH TIME: 00.00.04

10 ANSWERS

L16 10 SEA SSS FUL L13

=> file hcplus
COST IN U.S. DOLLARS SINCE FILE TOTAL
FULL ESTIMATED COST ENTRY SESSION
504.34 853.94

FILE 'HCPLUS' ENTERED AT 12:02:18 ON 06 SEP 2006
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FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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=> s l15
L17          13 L15

=> s l17 and imamura, k?/au
      1427 IMAMURA, K?/AU
L18          0 L17 AND IMAMURA, K?/AU

=> s l17 and mitomo, k?/au
      43 MITOMO, K?/AU
L19          0 L17 AND MITOMO, K?/AU

=> s l17 and yamada, n?/au
      3789 YAMADA, N?/AU
L20          0 L17 AND YAMADA, N?/AU

=> s l17 and teraoka, t?/au
      382 TERAOKA, T?/AU
L21          0 L17 AND TERAOKA, T?/AU

=> s l17 and sakana, o?/au
      25 SAKANAKA, O?/AU
L22          0 L17 AND SAKANAKA, O?/AU

=> s l17 and kurihara, h?/au
      1421 KURIHARA, H?/AU
L23          0 L17 AND KURIHARA, H?/AU

=> s l17 and taniguchi, m?/au
      3956 TANIGUCHI, M?/AU
L24          0 L17 AND TANIGUCHI, M?/AU

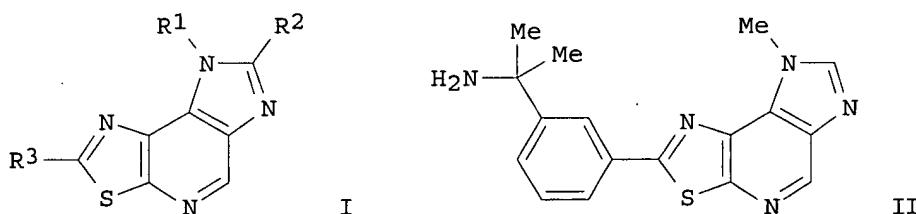
=> d l17, ibib abs hitstr, 1-13

L17 ANSWER 1 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 2006:464621 HCPLUS
DOCUMENT NUMBER: 144:488655
TITLE: Preparation of 8H-imidazo[4,5-d]thiazolo[4,5-b]pyridine derivatives as IKK inhibitors for treatment of inflammatory and immune diseases
INVENTOR(S): Dyckman, Alaric; Pitts, William J.; Belema, Makonen; Gill, Patrice; Kempson, James; Qiu, Yuping; Quesnelle, Claude; Spergel, Steven H.; Zusi, F. Christopher
```

09830923

PATENT ASSIGNEE(S) : USA
SOURCE : U.S. Pat. Appl. Publ., 67 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|-------------------|-----------------|------------|
| US 2006106051 | A1 | 20060518 | US 2005-272401 | 20051110 |
| WO 2006053120 | A1 | 20060518 | WO 2005-US40726 | 20051110 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR,
KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX,
MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE,
SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC,
VN, YU, ZA, ZM, ZW | | | | |
| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM | | | | |
| PRIORITY APPLN. INFO. : | | | US 2004-627761P | P 20041112 |
| OTHER SOURCE(S) : | | MARPAT 144:488655 | | |
| GT | | | | |



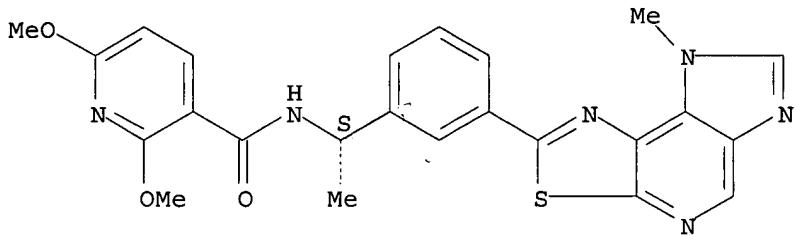
AB The title 8H-imidazo[4,5-d]thiazolo[4,5-b]pyridine derivs. I [wherein R1 = H, alkyl, alkenyl, or alkynyl; R2 = H, halo, CN, (un)substituted alkyl, alkenyl, alkoxy, aryloxy, etc.; R3 = 3-substituted phenyl], or their enantiomers, diastereomers, and salts thereof were prepared as IKK inhibitors for the treatment of inflammatory and immune diseases. For example, II was prepared in a multi-step synthesis. The compds. showed inhibitory activity against IKK, IkB, NF- κ B, and/or TNF- α (no data).

IT 887253-17-OP
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug candidate; preparation of imidazothiazolopyridine derivs. as IKK inhibitors for treatment of inflammatory and immune diseases)

BN 623253-13-8 UCARLUS

RN 887253-17-0 HCAPLUS
CN 3-Pyridinecarboxamide, 2,6-dimethoxy-N-[(1S)-1-[3-(8-methyl-8H-imidazo[4,5-d]thiazolo[5,4-b]pyridin-2-yl)phenyl]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 2 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2006:193407 HCPLUS

DOCUMENT NUMBER: 144:273163

TITLE: Aromatic amides and ureas and their uses as sweet and/or umami flavor modifiers, tastants and taste enhancers

INVENTOR(S): Tachdjian, Catherine; Patron, Andrew P.; Qi, Ming; Adamski-Werner, Sara L.; Tang, Xiao-Qing; Chen, Qing; Darmohusodo, Vincent; Lebl-Rinnova, Marketa; Priest, Chad

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 168 pp., Cont.-in-part of U.S. Ser. No. 913,303.

CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| US 2006045953 | A1 | 20060302 | US 2005-51567 | 20050204 |
| US 2005084506 | A1 | 20050421 | US 2004-913303 | 20040806 |
| WO 2005041684 | A2 | 20050512 | WO 2004-US25419 | 20040806 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| WO 2006084246 | A2 | 20060810 | WO 2006-US4132 | 20060206 |
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| RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, | | | | |

09830923

GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.:

| | |
|-----------------|-------------|
| US 2004-913303 | A2 20040806 |
| WO 2004-US25419 | A2 20040806 |
| US 2003-494071P | P 20030806 |
| US 2004-552064P | P 20040309 |
| US 2005-51567 | A 20050204 |

OTHER SOURCE(S): MARPAT 144:273163

AB Non-natural amide compds. added to food, beverages, or pharmaceuticals at concns. preferably on the order of 100 ppm or lower may serve as savory (umami) or sweet taste modifiers, savory or sweet flavoring agents, and savory or sweet flavor enhancers. They may also act in the presence of, or in mixts. with, conventional flavoring agents such as monosodium glutamate or known natural and artificial sweeteners. Thus, 3 μ M N1-(2,4-dimethoxybenzyl)-N2-(2-(pyridin-2-yl)ethyl)oxalamide enhanced the savory taste of glutamate in low-sodium tomato juice by 1.4 to 1.5-fold.

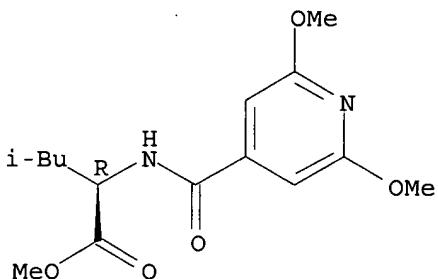
IT 851669-82-4P

RL: FFD (Food or feed use); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(aromatic amides and ureas as sweetness or umami flavor modifiers)

RN 851669-82-4 HCPLUS

CN D-Leucine, N-[(2,6-dimethoxy-4-pyridinyl)carbonyl]-, methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 3 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2005:696876 HCPLUS

DOCUMENT NUMBER:

143:193910

TITLE:

Preparation of herbicidal amides

INVENTOR(S):

Hanagan, Mary Ann; Selby, Thomas Paul; Sharpe, Paula Louise; Sheth, Ritesh B.; Stevenson, Thomas Martin

PATENT ASSIGNEE(S):

E.I. Dupont de Nemours and Company, USA

SOURCE:

PCT Int. Appl., 248 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|-----------------|----------|
| WO 2005070889 | A1 | 20050804 | WO 2005-US2147 | 20050121 |
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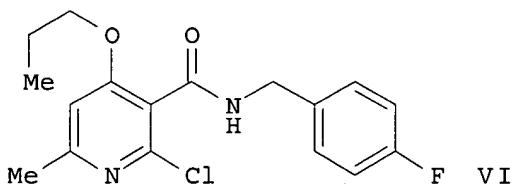
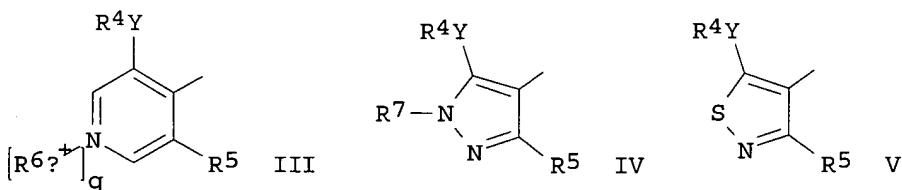
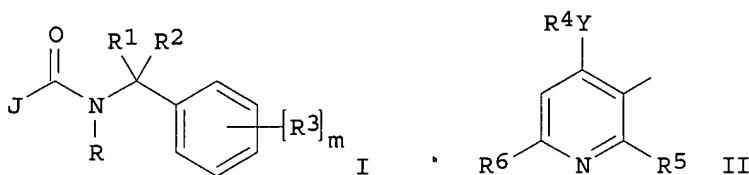
09830923

GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT,
RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML,
MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2004-539073P P 20040123
US 2004-607277P P 20040903

OTHER SOURCE(S): MARPAT 143:193910

GI



AB The title compds. I [$\text{J} = \text{II}, \text{III}, \text{IV}, \text{V}; \text{Y} = \text{O}, \text{SON}, \text{NR}8; \text{R} = \text{H}$, alkoxy methyl, alkyl carbonyl, alkoxy carbonyl; $\text{R}1 = \text{H}$, alkyl; $\text{R}2 = \text{H}$, alkyl, halo alkyl, etc.; $\text{R}3 = \text{halo}, \text{CN}, \text{NO}_2$, etc.; two adjacent $\text{R}3$ are taken together as $\text{OCH}_2\text{O}, \text{O}(\text{CHMe})\text{O}, \text{O}(\text{CMe}_2)\text{O}$, etc.; $\text{R}4 = \text{alkyl}, \text{cycloalkyl}, \text{alkylcycloalkyl}$, etc.; $\text{R}5 = \text{H}, \text{halo}, \text{alkyl}$, etc.; $\text{R}6 = \text{H}, \text{halo}, \text{CN}$, etc.; $\text{R}6a = \text{alkyl}, \text{haloalkyl}, \text{alkenyl}$, etc.; $\text{R}7 = \text{H}, \text{alkyl}, \text{haloalkyl}$, etc.; $\text{R}8 = \text{H}, \text{alkyl}, \text{alkyl carbonyl}$, etc.; $n = 0-1$; $m = 0-5$; $q = 0-1$] which are useful for controlling undesired vegetation (biol. data given), were prepared E.g., a 2-step synthesis of VI, starting from 2,4-dichloro-6-methyl-3-pyridinecarboxylic acid and 1-propanol, was given. Also disclosed are compns. comprising the compds. I and a method for controlling undesired vegetation which involves contacting the vegetation or its environment with an effective amount of a compound I. Also disclosed are compns. comprising a compound I and at least one addnl. active

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ingredient selected from the group consisting of an other herbicide and a herbicide safener.

IT 861894-48-6P

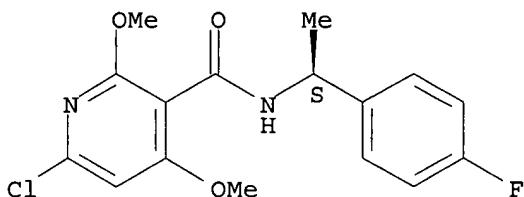
RL: AGR (Agricultural use); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of herbicidal amides)

RN 861894-48-6 HCPLUS

CN 3-Pyridinecarboxamide, 6-chloro-N-[(1S)-1-(4-fluorophenyl)ethyl]-2,4-dimethoxy- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 4 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2005:405341 HCPLUS

DOCUMENT NUMBER: 142:462667

TITLE: Novel flavors, flavor modifiers, tastants, taste enhancers, umami or sweet tastants, and/or enhancers and use thereof

INVENTOR(S): Tachdjian, Catherine; Patron, Andrew P.; Adamski-Werner, Sara L.; Bakir, Farid; Chen, Qing; Darmohusodo, Vincent; Hobson, Stephen Terrence; Li, Xiadong; Qi, Ming; Rogers, Daniel Harry; Rinnova, Marketa; Servant, Guy; Tang, Xiao-Qing; Zoller, Mark; Wallace, Mark; Xing, Amy; Gubernator, Klaus

PATENT ASSIGNEE(S): Senomyx Inc., USA

SOURCE: PCT Int. Appl., 262 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 2005041684 | A2 | 20050512 | WO 2004-US25419 | 20040806 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW | | | | |
| RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |

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| | | | |
|--|-------------|-----------------|-------------|
| AU 2004285410 | A1 20050512 | AU 2004-285410 | 20040806 |
| CA 2535036 | AA 20050512 | CA 2004-2535036 | 20040806 |
| EP 1659881 | A2 20060531 | EP 2004-816798 | 20040806 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR | | | |
| US 2006045953 | A1 20060302 | US 2005-51567 | 20050204 |
| PRIORITY APPLN. INFO.: | | US 2003-494071P | P 20030806 |
| | | US 2004-552064P | P 20040309 |
| | | US 2004-913303 | A2 20040806 |
| | | WO 2004-US25419 | W 20040806 |

OTHER SOURCE(S): MARPAT 142:462667

AB Flavor or taste modifiers, such as a flavoring or flavoring agents and flavor or trite enhancer, more particularly, savory (the 'umami' taste of monosodium glutamate) or sweet taste modifiers, - savory or sweet flavoring agents and savory or sweet flavor enhancers, were prepared for food, beverages, and other comestible or orally administered medicinal products or compns. Thus, non-naturally occurring, non-peptide arride compds. and amide derivs., such as oxalamides, ureas, and acrylamides, were prepared

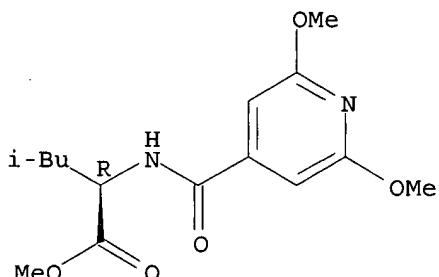
IT 851669-82-4P

RL: FFD (Food or feed use); PAC (Pharmacological activity); PRP (Properties); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(flavors, flavor modifiers, tastants, taste enhancers, umami or sweet tastants, and/or enhancers and their use)

RN 851669-82-4 HCPLUS

CN D-Leucine, N-[(2,6-dimethoxy-4-pyridinyl)carbonyl]-, methyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.



L17 ANSWER 5 OF 13 HCPLUS COPYRIGHT 2006 ACS on STM

ACCESSION NUMBER: 2003:912843 HCPLUS

DOCUMENT NUMBER: 139:381756

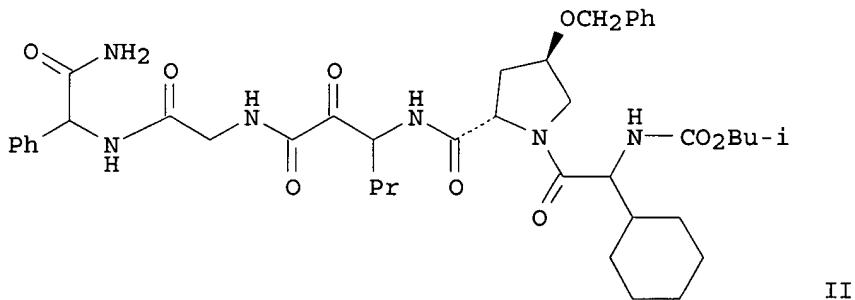
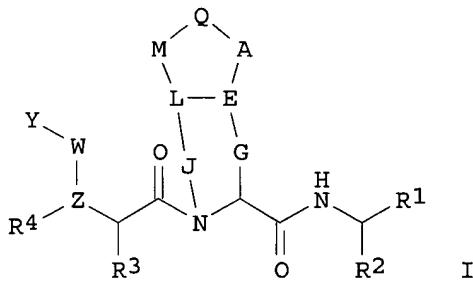
TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua

09830923

PATENT ASSIGNEE(S) : Schering Corporation, USA; Dendreon Corporation
SOURCE: U.S. Pat. Appl. Publ., 629 pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|--------|------------|-----------------|------------|
| US 2003216325 | A1 | 20031120 | US 2001-908955 | 20010719 |
| US 2004254117 | A9 | 20041216 | | |
| US 7012066 | B2 | 20060314 | | |
| CN 1498224 | A | 20040519 | CN 2001-813111 | 20010719 |
| ZA 2002010312 | A | 20040329 | ZA 2002-10312 | 20021219 |
| PRIORITY APPLN. INFO.: | | | US 2000-220108P | P 20000721 |
| OTHER SOURCE(S) : | MARPAT | 139:381756 | | |
| GI | | | | |



AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylaryl amino, arylamino, heteroaryl amino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO₂; Q is CH, N, P, alkylidene, O, NR, S, or SO₂; A is O, CH, alkylidene, NR, S, SO₂, or a bond; E is CH, N,

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alkylidene, or a double bond; G is alkylidene, SO₂, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO₂, or alkylidene (with provisos) which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared by the solid-phase method and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

IT 394720-42-4P

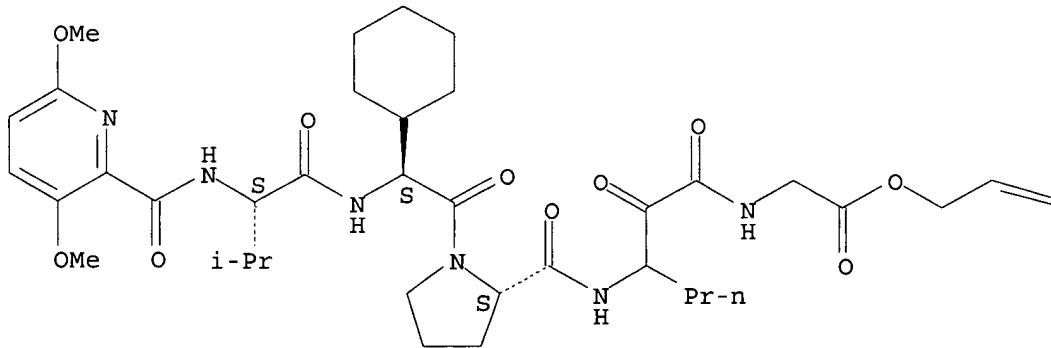
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394720-42-4 HCPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

= CH₂

REFERENCE COUNT: 111 THERE ARE 111 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 6 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2003:591204 HCPLUS

DOCUMENT NUMBER: 139:149928

TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

Updated Search

09830923

INVENTOR(S) : Saksena, Anil K.; Girijavallabhn, Viyyoor M.; Lovey, Raymond G.; Jao, Edwin; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-yau; Liu, Yi-tsung; Zhu, Zhaoning; Njoroge, George F.; Arasappan, Ashok; Parekh, Tejal; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Wong, Jesse K.; Nair, Latha G.

PATENT ASSIGNEE(S) : Schering Corporation, USA; Corvas International, Inc.; Dendreon Corp.

SOURCE: PCT Int. Appl., 633 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

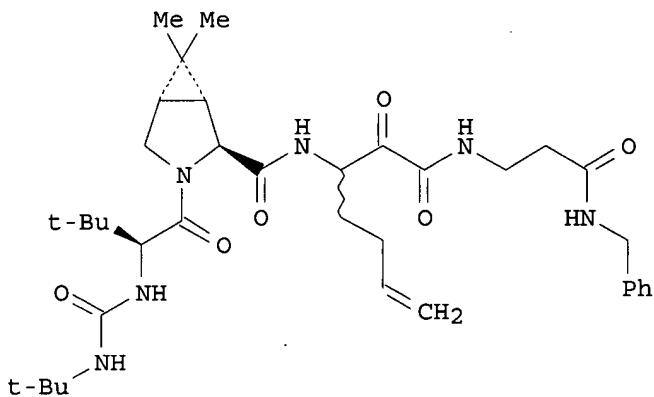
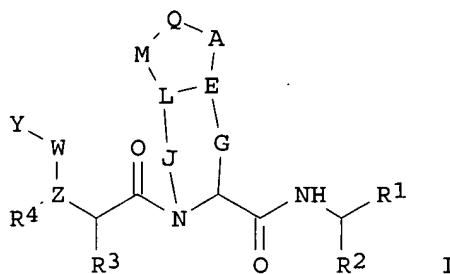
FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|--|----------|-----------------|------------|
| WO 2003062265 | A2 | 20030731 | WO 2003-US1430 | 20030116 |
| WO 2003062265 | A3 | 20040916 | | |
| W: AE, AG, AL, AM, AT, AU, AZ, CO, CR, CZ, DE, DK, DM, DZ, ID, IL, IN, IS, JP, KG, KR, MG, MK, MN, MX, MZ, NO, NZ, SL, TJ, TM, TN, TR, TT, TZ, | BA, BB, BG, BR, BY, BZ, CA, CH, CN, EC, EE, ES, FI, GB, GD, GE, HR, HU, KZ, LC, LK, LR, LT, LU, LV, MA, MD, PH, PL, PT, RO, RU, SC, SE, SG, SK, UA, UZ, VC, VN, YU, ZA, ZM | | | |
| RW: GH, GM, KE, LS, MW, MZ, SD, KG, KZ, MD, RU, TJ, TM, AT, FI, FR, GB, GR, HU, IE, IT, BJ, CF, CG, CI, CM, GA, GN, | SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, BE, BG, CH, CY, CZ, DE, DK, EE, ES, LU, MC, NL, PT, SE, SI, SK, TR, BF, GQ, GW, ML, MR, NE, SN, TD, TG | | | |
| CA 2473032 | AA | 20030731 | CA 2003-2473032 | 20030116 |
| EP 1481000 | A2 | 20041201 | EP 2003-731956 | 20030116 |
| R: AT, BE, CH, DE, DK, ES, FR, IE, SI, LT, LV, FI, RO, MK, | GB, GR, IT, LI, LU, NL, SE, MC, PT, CY, AL, TR, BG, CZ, EE, HU, SK | | | |
| BR 2003006931 | A | 20050419 | BR 2003-6931 | 20030116 |
| CN 1633446 | A | 20050629 | CN 2003-805933 | 20030116 |
| JP 2005524628 | T2 | 20050818 | JP 2003-562142 | 20030116 |
| NO 2004002792 | A | 20041015 | NO 2004-2792 | 20040702 |
| PRIORITY APPLN. INFO.: | | | US 2002-52386 | A 20020118 |
| | | | WO 2003-US1430 | W 20030116 |

OTHER SOURCE(S) : MARPAT 139:149928

GI



AB The invention discloses novel peptides I [Y is alkyl, alkylaryl, heteroalkyl, heteroaryl, aryl- or alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylaryl amino, arylamino, heteroaryl amino, cycloalkylamino, or heterocycloalkylamino; R1 is acyl; Z is selected from O, N, CH or CR; R, R2-R4 are H, alkyl, alkenyl, cycloalkyl, heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halo, (cycloalkyl)alkyl, or (heterocycloalkyl)alkyl; W, Q, G, J, L, M independently may be present or absent; W is CO, CS, C(:N-CN), or SO₂; Q is CH, N, P, alkylidene, O, NR, S, or SO₂; A is O, CH, alkylidene, NR, S, SO₂, or a bond; E is CH, N, alkylidene, or a double bond; G is alkylidene; J is alkylidene, SO₂, NH, NR, or O; L is CH, CR, O, S, or NR; M is O, NR, S, SO₂, or alkylidene (with provisos)] which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus, peptide II was prepared and showed Ki = 1-100 nM (category A) in the HCV continuous assay.

IT 394720-42-4P

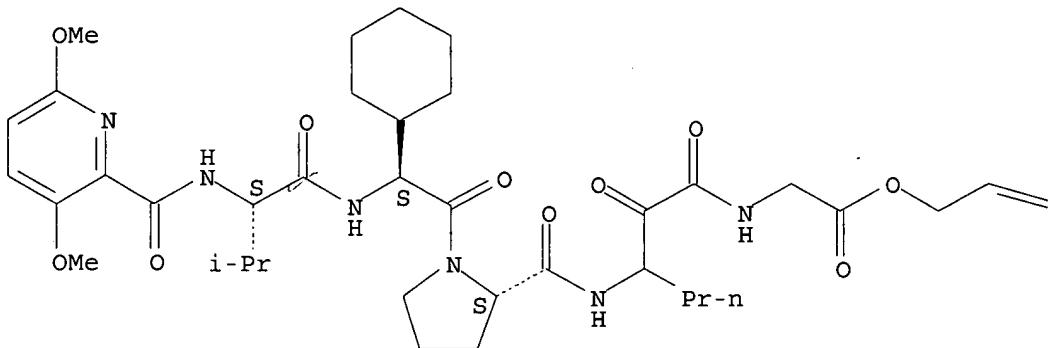
RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394720-42-4 HCPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.

 $\equiv \text{CH}_2$

L17 ANSWER 7 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:90062 HCPLUS

DOCUMENT NUMBER: 136:167698

TITLE: Preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus

INVENTOR(S): Saksena, Anil K.; Girijavallabhan, Viyyoor Moopil; Lovey, Raymond G.; Jao, Edwin E.; Bennett, Frank; McCormick, Jinping L.; Wang, Haiyan; Pike, Russell E.; Bogen, Stephane L.; Chan, Tin-Yau; Liu, Yi-Tsung; Zhu, Zhaoning; Njoroge, F. George; Arasappan, Ashok; Parekh, Tejal N.; Ganguly, Ashit K.; Chen, Kevin X.; Venkatraman, Srikanth; Vaccaro, Henry A.; Pinto, Patrick A.; Santhanam, Bama; Wu, Wanli; Hendrata, Siska; Huang, Yuhua; Kemp, Scott Jeffrey; Levy, Odile Esther; Lim-Wilby, Marguerita; Tamura, Susan Y.

PATENT ASSIGNEE(S): Schering Corporation, USA; Corvas International, Inc.

SOURCE: PCT Int. Appl., 536 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

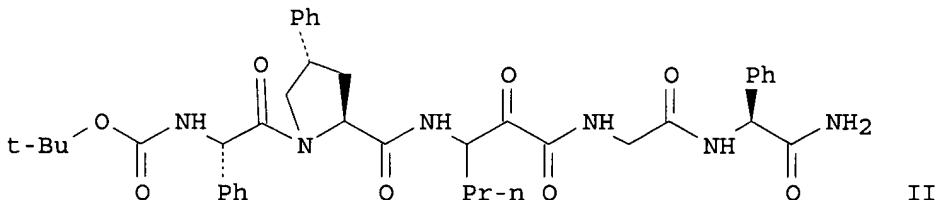
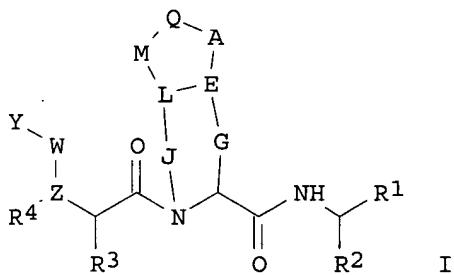
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2002008244 | A2 | 20020131 | WO 2001-US22678 | 20010719 |
| WO 2002008244 | A3 | 20030619 | | |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN,

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MG, MK, MN, MX, MZ, NO, NZ, PL, PT, RO, RU, SE, SG, SI, SK, SL,
TJ, TM, TR, TT, TZ, UA, UZ, VN, YU, ZA
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CA 2410662 AA 20020131 CA 2001-2410662 20010719
AU 2001076988 A5 20020205 AU 2001-76988 20010719
BR 2001012540 A 20030624 BR 2001-12540 20010719
EP 1385870 A2 20040204 EP 2001-954764 20010719
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
IE, SI, LT, LV, FI, RO, MK, CY, AL, TR
JP 2004504404 T2 20040212 JP 2002-514149 20010719
CN 1498224 A 20040519 CN 2001-813111 20010719
NZ 523782 A 20051028 NZ 2001-523782 20010719
ZA 2002010312 A 20040329 ZA 2002-10312 20021219
NO 2003000272 A 20030321 NO 2003-272 20030120
PRIORITY APPLN. INFO.: US 2000-220108P P 20000721
OTHER SOURCE(S): MARPAT 136:167698 WO 2001-US22678 W 20010719
GI



AB Peptides I were prepared wherein Y is alkyl, alkyl-aryl, heteroaryl, heteroalkyl, heteroaryl, aryl-heteroaryl, alkylheteroaryl, cycloalkyl, alkyloxy, alkylaryloxy, aryloxy, heteroaryloxy, heterocycloalkyloxy, cycloalkyloxy, alkylamino, arylamino, alkylarylamino, arylamino, heteroarylamino, cycloalkylamino and heterocycloalkylamino; R1 is acyl, borate; Z is selected from O, N, CH or CR; W, Q, G, J, L, M independently maybe present or absent; W is C=O, C=S, C(=N-CN), or SO; Q is CH, N, P, alkylidene, O, amine, S, or SO; A is O, CH, alkylidene, amine, S, SO or bond; E is CH, N, alkylidene, or double bond; G is alkylidene; J is alkylidene, SO, NH, NR, O; L is CH, alkylidene, O, S or NR; M is O, NR, S, SO, alkylidene; p is 0 to 6; and R-R4 are independently selected from the

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group consisting of H; alkyl; alkenyl; cycloalkyl; heterocycloalkyl, alkoxy, aryloxy, alkylthio, arylthio, amino, amido, ester, carboxylic acid, carbamate, urea, ketone, aldehyde, cyano, nitro, halogen; (cycloalkyl)alkyl and (heterocycloalkyl)alkyl, which have HCV protease inhibitory activity as well as methods for preparing such compds. In another embodiment, the invention discloses pharmaceutical compns. comprising such compds. as well as methods of using them to treat disorders associated with the HCV protease. Thus peptide II was prepared and tested as antiviral agent and NS3-serine protease inhibitors of hepatitis C virus with Ki ranges in category A = 1-100 nM; category B = 101-1,000 nM; category C > 1000 nM. Also disclosed is the use of I for the manufacture of a medicament for treating HCV, AIDS, and related disorders.

IT 394720-42-4P

RL: IMF (Industrial manufacture); PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

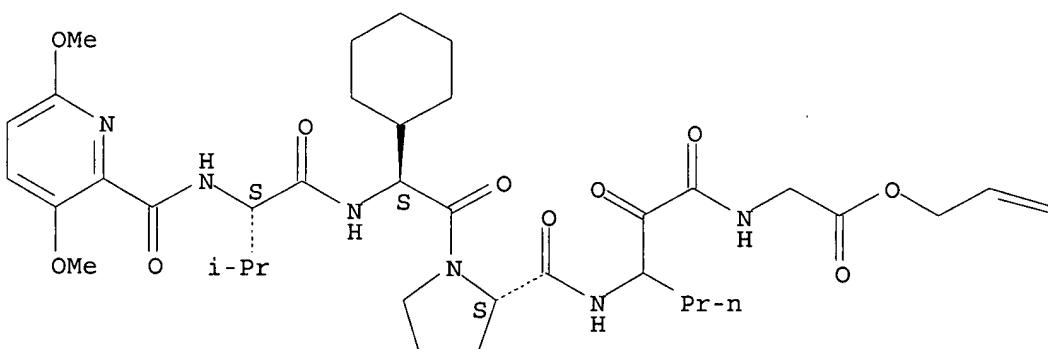
(preparation of peptides as NS3-serine protease inhibitors of hepatitis C virus)

RN 394720-42-4 HCPLUS

CN Glycine, N-[(3,6-dimethoxy-2-pyridinyl)carbonyl]-L-valyl-(2S)-2-cyclohexylglycyl-L-prolyl-3-amino-2-oxohexanoyl-, 2-propenyl ester (9CI)
(CA INDEX NAME)

Absolute stereochemistry.

PAGE 1-A



PAGE 1-B

= CH₂

L17 ANSWER 8 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:900620 HCPLUS

DOCUMENT NUMBER: 134:56577

TITLE: Pyridinecarboxamides and their use as plant protection

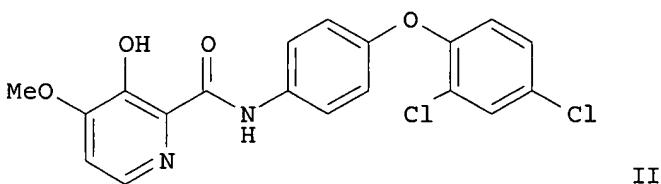
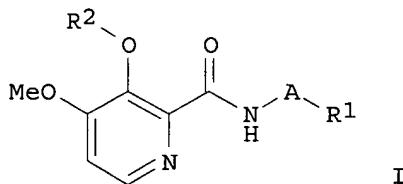
Updated Search

09830923

agents
INVENTOR(S) : Backhaus, Dirk; Jordan, Stephan; Boie, Christiane;
Schneider, Udo; Gayer, Herbert; Vaupel, Martin;
Mauler-Machnik, Astrid; Wachendorff-Neumann, Ulrike;
Kuck, Karl-Heinz
PATENT ASSIGNEE(S) : Bayer A.-G., Germany
SOURCE: PCT Int. Appl., 63 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|--|------|----------|------------------|------------|
| WO 20000076979 | A1 | 20001221 | WO 2000-EP4870 | 20000529 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR,
CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU,
ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU,
LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD,
SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU,
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RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,
CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| DE 19958166 | A1 | 20001214 | DE 1999-19958166 | 19991202 |
| PRIORITY APPLN. INFO.: | | | DE 1999-19926174 | A 19990609 |
| | | | DE 1999-19958166 | A 19991202 |

OTHER SOURCE(S) : MARPAT 134:56577
GI



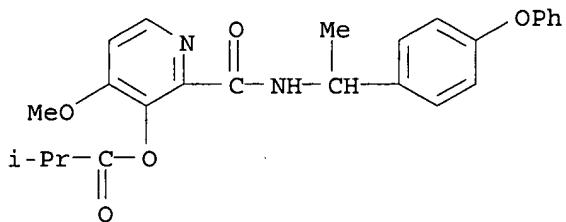
AB Pyridinecarboxamides I [A = bond, (un)substituted alkylene, heteroalkylene; R1 = (un)substituted cycloalkyl, cycloalkenyl, aryl, heterocyclyl; R2 = H, acyl, alkoxy carbonyl] were prepared for use as agricultural fungicides. Thus, the amide II was obtained by amidation. II was ≥91% effective against Botrytis on beans at 500 g/ha.

IT 313643-68-4P 313643-71-9P
RL: AGR (Agricultural use); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of pyridinecarboxamides as agricultural fungicides)

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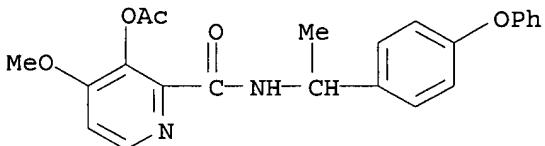
RN 313643-68-4 HCAPLUS

CN Propanoic acid, 2-methyl-, 4-methoxy-2-[[[1-(4-phenoxyphenyl)ethyl]amino]carbonyl]-3-pyridinyl ester (9CI) (CA INDEX NAME)



RN 313643-71-9 HCAPLUS

CN 2-Pyridinecarboxamide, 3-(acetyloxy)-4-methoxy-N-[1-(4-phenoxyphenyl)ethyl] - (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 9 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:819241 HCAPLUS

DOCUMENT NUMBER: 132:64530

TITLE: Preparation of diacyl hydrazine compounds as protease inhibitors

INVENTOR(S): Halbert, Stacie Marie; Michaud, Evelyne; Thompson, Scott Kevin; Veber, Daniel Frank

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA

SOURCE: PCT Int. Appl., 167 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

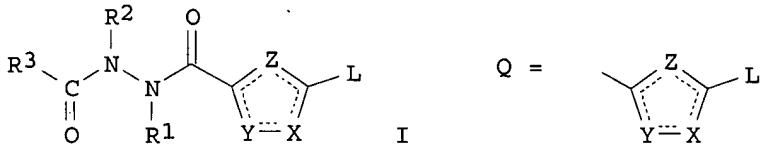
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9966925 | A1 | 19991229 | WO 1999-US14561 | 19990624 |
| W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2335876 | AA | 19991229 | CA 1999-2335876 | 19990624 |
| AU 9947237 | A1 | 20000110 | AU 1999-47237 | 19990624 |

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| | | | |
|--|----------------------------|---|--|
| EP 1093367
R: BE, CH, DE, ES, FR, GB, IT, LI, NL
JP 2002518444 | A1 20010425
T2 20020625 | EP 1999-930779
JP 2000-555611
US 1998-90493P
WO 1999-US14561 | 19990624
19990624
19980624
W 19990624 |
| PRIORITY APPLN. INFO.: | | | P 19980624 |

OTHER SOURCE(S): MARPAT 132:64530
GI



AB The present invention provides compds. I [L = C2-6 alkyl, Ar- or Het-C0-6 alkyl, CHR4NR5R6, CHR4Ar, CHR4OAr, NR4R7; X, Y, Z = N, O, S, CR10; R1, R2, R5, R10 = H, C1-6 alkyl, C2-6 alkenyl, Ar- or Het-C0-6 alkyl; R3 = C3-6 alkyl, Ar, Het, heterocycle Q, etc.; R4 = H, C1-6 alkyl, C2-6 alkenyl, C2-6 alkynyl, Ar- or Het-C0-6 alkyl, etc.; R6 = R14 or an acyl group such as R14CO, R14C(S), R14OCO (R14 = C1-6 alkyl, C2-6 alkenyl, Ar- or Het C0-6 alkyl); R7 = C1-6 alkyl, C1-6 alkenyl, C3-6 cycloalkyl-, Ar-, or Het-C0-6 alkyl], which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis, gingival disease, and arthritis. Thus, N-[2-[N-cyclopropyl-N-(cyclopropylmethyl)amino]thiazol-4-ylcarbonyl]-N'-(N-(6-methyl-3-pyridinylmethoxycarbonyl)-L-β-tert-butylalanyl)hydrazide was prepared via sequential reactions of Et 6-nicotinate, L-β-tert-butylalanine, cyclopropylamine, cyclopropylcarboxaldehyde, benzoyl isothiocyanate, and Et bromopyruvate.

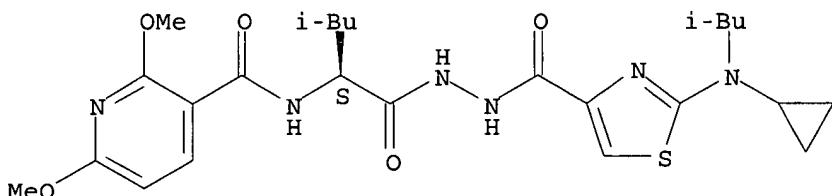
IT 253314-50-0P

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of diacyl hydrazine compds. as protease inhibitors)

RN 253314-50-0 HCPLUS

CN 4-Thiazolecarboxylic acid, 2-[cyclopropyl(2-methylpropyl)amino]-, 2-[(2S)-2-[[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 10 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1999:753058 HCPLUS

Updated Search

09830923

DOCUMENT NUMBER: 132:426
TITLE: Diacyl carbohydrazide compounds as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation
INVENTOR(S): Halbert, Stacie Marie; Thompson, Scott Kevin; Weber, Daniel Frank
PATENT ASSIGNEE(S): SmithKline Beecham Corporation, USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIIXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 9959570 | A1 | 19991125 | WO 1998-US17275 | 19980820 |
| W: AL, AU, BA, BB, BG, BR, CA, CN, CZ, EE, GE, HU, ID, IL, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, US, UZ, VN, YU, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2332492 | AA | 19991125 | CA 1998-2332492 | 19980820 |
| AU 9891102 | A1 | 19991206 | AU 1998-91102 | 19980820 |
| EP 1079821 | A1 | 20010307 | EP 1998-943273 | 19980820 |
| R: BE, CH, DE, ES, FR, GB, IT, LI, NL | | | | |
| JP 2002515428 | T2 | 20020528 | JP 2000-549235 | 19980820 |
| PRIORITY APPLN. INFO.: | | | US 1998-86553P | P 19980521 |
| | | | WO 1998-US17275 | W 19980820 |

OTHER SOURCE(S): MARPAT 132:426

AB The present invention provides diacyl carbohydrazide compds., and pharmaceutically acceptable salts, hydrates and solvates thereof, which inhibit proteases, including cathepsin K, pharmaceutical compns. of such compds., novel intermediates of such compds., and methods for treating diseases of excessive bone loss or cartilage or matrix degradation, including osteoporosis; gingival disease including gingivitis and periodontitis; arthritis, more specifically, osteoarthritis and rheumatoid arthritis; Paget's disease; hypercalcemia of malignancy; and metabolic bone disease, comprising inhibiting said bone loss or excessive cartilage or matrix degradation by administering to a patient in need thereof a compound of the present invention.

IT 250726-27-3P

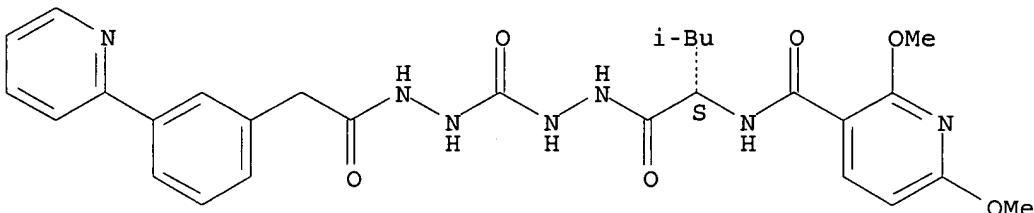
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(diacyl carbohydrazide compds. as protease inhibitors for treating diseases of excessive bone loss or cartilage or matrix degradation)

RN 250726-27-3 HCPLUS

CN Benzeneacetic acid, 3-(2-pyridinyl)-, 2-[[2-[(2S)-2-[[[(2,6-dimethoxy-3-pyridinyl)carbonyl]amino]-4-methyl-1-oxopentyl]hydrazino]carbonyl]hydrazide (9CI) (CA INDEX NAME)

Absolute stereochemistry.

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REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 11 OF 13 HCAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER: 1998:268513 HCAPLUS
DOCUMENT NUMBER: 128:321945
TITLE: Preparation of peptide analogs as inhibitors of serine proteases, particularly hepatitis C virus NS3 protease
INVENTOR(S): Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
PATENT ASSIGNEE(S): Vertex Pharmaceuticals Inc., USA; Tung, Roger D.; Harbeson, Scott L.; Deininger, David D.; Murcko, Mark A.; Bhisetti, Govinda Rao; Farmer, Luc J.
SOURCE: PCT Int. Appl., 128 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1

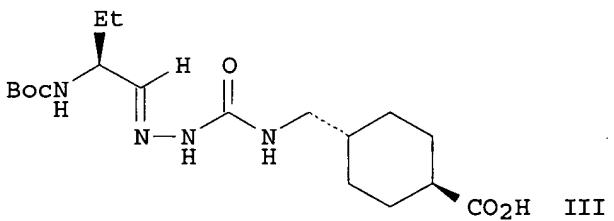
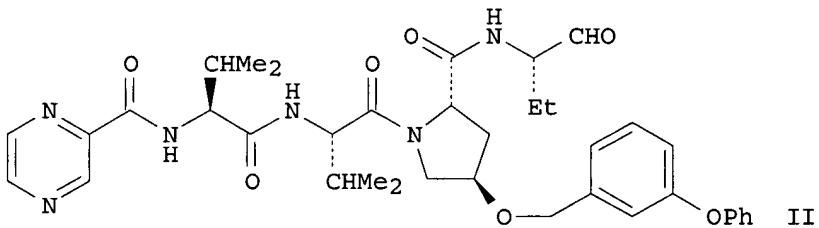
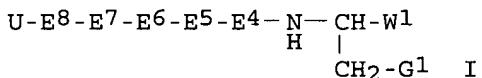
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|----------|
| WO 9817679 | A1 | 19980430 | WO 1997-US18968 | 19971017 |
| W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, HU, ID, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, KE, LS, MW, SD, SZ, UG, ZW, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG | | | | |
| CA 2268391 | AA | 19980430 | CA 1997-2268391 | 19971017 |
| ZA 9709327 | A | 19980511 | ZA 1997-9327 | 19971017 |
| AU 9851477 | A1 | 19980515 | AU 1998-51477 | 19971017 |
| AU 719984 | B2 | 20000518 | | |
| EP 932617 | A1 | 19990804 | EP 1997-946273 | 19971017 |
| EP 932617 | B1 | 20020116 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| IN 183120 | A | 19990911 | IN 1997-CA1951 | 19971017 |
| BR 9712544 | A | 19991019 | BR 1997-12544 | 19971017 |
| CN 1238780 | A | 19991215 | CN 1997-180151 | 19971017 |
| CN 1133649 | B | 20040107 | | |
| NZ 335276 | A | 20000929 | NZ 1997-335276 | 19971017 |
| JP 2001502694 | T2 | 20010227 | JP 1998-519568 | 19971017 |
| EP 1136498 | A1 | 20010926 | EP 2001-109433 | 19971017 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |

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| | | | | |
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| AP 1019 | A | 20011016 | AP 1999-1512 | 19971017 |
| W: GH, KE, LS, MW, SD, SZ, UG, ZW | | | | |
| AT 212037 | E | 20020215 | AT 1997-946273 | 19971017 |
| ES 2169880 | T3 | 20020716 | ES 1997-946273 | 19971017 |
| EE 4023 | B1 | 20030415 | EE 1999-161 | 19971017 |
| TW 530065 | B | 20030501 | TW 1997-86115382 | 19971018 |
| NO 9901832 | A | 19990617 | NO 1999-1832 | 19990416 |
| US 6265380 | B1 | 20010724 | US 1999-293247 | 19990416 |
| KR 2000049263 | A | 20000725 | KR 1999-703372 | 19990417 |
| HK 1023779 | A1 | 20020927 | HK 2000-100690 | 20000203 |
| US 2002032175 | A1 | 20020314 | US 2001-875390 | 20010606 |
| US 6617309 | B2 | 20030909 | | |
| US 2004266731 | A1 | 20041230 | US 2003-607716 | 20030627 |
| PRIORITY APPLN. INFO.: | | | US 1996-28290P | P 19961018 |
| | | | EP 1997-946273 | A3 19971017 |
| | | | WO 1997-US18968 | W 19971017 |
| | | | US 1999-293247 | A 19990416 |
| | | | US 2001-875390 | A3 20010606 |

OTHER SOURCE(S) : MARPAT 128:321945
GI



AB The present invention relates to compds. I [G1 = SH, OH, SMe, alkanyl, alkynyl, CF₃, C₁₋₂ alkoxy, C₁₋₂ alkylthio, (un)substituted C₁₋₃ alkyl; W1 = COCF₂CH₂N(G4)U, CHO, COG₂, COCF₂CF₃, COCOG₂, COCO₂G₂, B(Q₁)₂; G₂ = alkyl, aryl, aralkyl, (un)substituted mono-, bi-, or tricyclic heterocycle; G₄ = alky, alkanyl, alkynyl, cycloalkyl, cycloalkylalkyl, cycloalkylalkenyl, aryl, aralkyl, aralkenyl, etc.; Q₁ = OH, alkoxy,

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aryloxy, or Q1-Q1 form a 5-7 membered ring; U = H, G9CO, G9SO₂, G9COCO, (G9)2NCOCO, (G9)2NSO₂, (G9)2NCO, G9O2C; G9 = H, alkyl, carboxyalkyl, alkenyl, aryl, aralkyl, aralkenyl, cycloalkyl, heterocycloalkyl, etc; or G9-G9 form a ring; E4 = bond, α -amino acid residue, heterocyclic amino acid; E5-E8 = independently bond, amino acid residue; 1-2 peptide bonds between E5-E8 may be reduced], methods and pharmaceutical compns. for inhibiting proteases, particularly serine proteases, and more particularly HCV NS3 proteases. The compds., and the compns. and methods that utilize them, can be used, either alone or in combination to inhibit viruses, particularly HCV virus. Thus, peptide aldehyde II was prepared using solid-phase methods on a benzhydrylamine resin and tert-butoxycarbonyl (Boc) and 9-fluorenylmethoxycarbonyl (Fmoc) protection starting from protected hydrazone III. Nearly 200 compds. I were prepared and tested for hepatitis C virus NS3 protease inhibitory activity, with II exhibiting Ki < 1 μ M in an in vitro assay.

IT 207001-81-8P

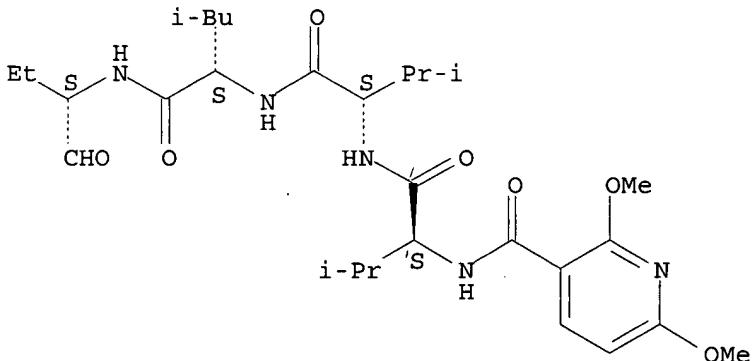
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)

(preparation of peptide analogs as hepatitis C virus NS3 protease inhibitors)

RN 207001-81-8 HCPLUS

CN L-Leucinamide, N-[{(2,6-dimethoxy-3-pyridinyl)carbonyl]-L-valyl-L-valyl-N-[(1S)-1-formylpropyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L17 ANSWER 12 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1969:114460 HCPLUS

DOCUMENT NUMBER: 70:114460

TITLE: Polarographic study of some nitrogen-containing heterocycles

AUTHOR(S): Mikhailova, T. A.; Kudryashova, N. I.; Khromov-Borisov, N. V.

CORPORATE SOURCE: Inst. Eksp. Med., Leningrad, USSR

SOURCE: Zhurnal Obshchey Khimii (1969), 39(1), 26-30

CODEN: ZOKHA4; ISSN: 0044-460X

DOCUMENT TYPE: Journal

LANGUAGE: Russian

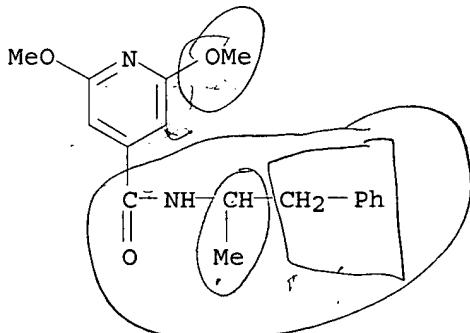
AB Polarographic halfwave potentials were reported in the pH range of

1.85-11.6 for pyridine, quinoline, acridine, their 4-carboxylic acids, and the amides of these acids with N-CHMeCH₂Ph grouping. Also included were data on N-(1-methyl-2-phenylethyl)amides of isonicotinic acid with the following 2,6-ring substituents: H, H; Cl, Cl; MeO, MeO; Et₂N, Et₂N; Cl, MeO; Cl, Et₂N. N,N-diethylisonicotinamide with the following 2,6-substituents were also reported: H, H; Cl, Cl; Cl, MeO; MeO, MeO; Cl, Et₂N; Et₂N, Et₂N. The main center of reaction in these compds. is the C:N link which gives the 1st polarographic wave at any pH value. Introduction of 4-substituents with electron-acceptor properties serves to lower the halfwave potential; introduction of electron donor groups in 2,6-positions raises the halfwave potential. The CO₂H and CONHR groups cause a 2nd polarographic wave in neutral medium only. Treating the acyl chloride with Et₂NH in C₆H₆ gave the diethylamides of: isonicotinic acid, b₃ 133°, n_{20D} 1.5238; 2,6-dichloroiso-nicotinic acid (I), m. 82-4°; 2-chloro-6-methoxy analog, b₃ 153°; and the 2,6-dimethoxy analog, m. 87-8°. Heating the diethylamide of I with Et₂NH at 100° 1 day gave the diethylamide of 2-chloro-6-diethylaminoisonicotinic acid, b₄ 182-4°; similarly, by heating 26 hrs. at 200°, the 2,6-bis(diethylamino)analog, m. 54-6°, b₃ 185-7°, was prepared

IT 15855-04-6

RL: PRP (Properties)
(polarography of)

RN 15855-04-6 HCPLUS

CN Isonicotinamide, 2,6-dimethoxy-N-(α -methylphenethyl)- (8CI) (CA INDEX NAME)

10³ (d)

L17 ANSWER 13 OF 13 HCPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1967:482064 HCPLUS

DOCUMENT NUMBER: 67:82064

TITLE: Drugs from β -phenylisopropylamines. I.
Derivatives containing a pyridine ring

AUTHOR(S): Kudryashova, N. I.; Khromov-Borisov, N. V.

CORPORATE SOURCE: Inst. Eksperim. Med. Akad. Med. Nauk., Leningrad, USSR

SOURCE: Zhurnal Organicheskoi Khimii (1967), 3(6), 1117-21

CODEN: ZORKAE; ISSN: 0514-7492

DOCUMENT TYPE: Journal

LANGUAGE: Russian

AB A series of the title compds. of general formula PhCH₂CMeHNHR (I) was synthesized. Compds. I (R = isonicotinyl) and I (R = 4-pyridyl) have sedative and hypotensive activities. The compds. were prepared by treating 2-R₁-substituted, 6-R₂-substituted isonicotinyl chloride (II) with PhCH₂CMeHNH₂. For example, to 15 g. isonicotinic acid 45 ml. SOCl₂ was added slowly. The mixture was boiled to dissolve all the solids and evaporated to dryness in vacuum. The residue was dissolved in 60 ml. anhydrous benzene and 55 ml. PhCH₂CMeHNH₂ was added slowly. The mixture was refluxed 3 hrs., washed with water, dried with K₂CO₃, and evaporated in vacuo. The residue was

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crystallized from MeOH to give 50.6% I (R = isonicotinyl) m. 11-12.5° (HCl salt m. 92-4°). II (R₁ = R₂ = Cl), m. 208-9° (alc.-water), was prepared in 91% yield by action of POCl₃ on II (R₁ = R₂ = OH). Heating II (R₁ = R₂ = Cl) with NaOMe gave 93.3% II (R₁ = Cl, R₂ = OMe) m. 212-13° (alc.-water), and II (R₁ = R₂ = OMe), m. 226.5-28° (MeOH) (yield not given). Treating II with PhCH₂CMeHNH₂ gave the following I (R, % yield, and m.p. given): 2,6-dichloroisonicotinyl, 96.3, 137.5-38° (alc.-water); 2,6-dimethoxyisonicotinyl, 62, 88-91° (AcMe); 2-chloro-6-methoxyisonicotinyl, 60.8, 102-4° (alc.-water). Reaction of cinchoninyl chloride (prepared in situ from cinchoninic acid and SOCl₂) with PhCH₂CMeHNH₂ gave 74.1% I (R = cinchoninyl), m. 140-4° (alc.-water). (HCl salt m. 205-7°). Similarly, I (R = 9-acridinylcarbonyl), m. 200-2° (alc.-water) (yield 84.5%) (HCl salt m. 282-3°) was prepared. Heating a mixture of 2.85 g. I (R = 2,6-dichloroisonicotinyl) and 15 ml. Et₂NH in a sealed tube 15 hrs. at 195-200° gave 70.1% I (R = 2,6-diethylaminoisonicotinyl), m. 167-9° (AcMe). The above sealed-tube reaction with apprx. 1/2 the amount of Et₂NH gave 89.6% I (R = 2-chloro-6-ethylaminoisonicotinyl), m. 136-7° (alc.-water). Refluxing 2 hrs. at 200-5° a mixture of 6.05 g. PhCH₂CMeHNH₂.HCl with 6.22 g. 4-phenoxyppyridine, followed by dissoln. in water, steam distillation (to remove PhCH₂CMeHNH₂), acidification, 2nd steam distillation (to remove PhOH), neutralization, and crystallization of the organic

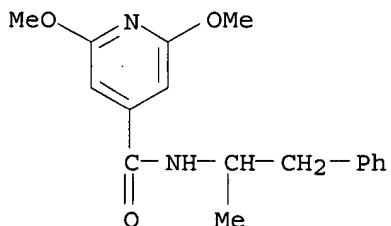
layer gave 55.7% I (R = 4-pyridyl), m. 122-3° (alc.-water).

IT 15855-04-6P

RL: SPN (Synthetic preparation); PREP (Preparation)
(preparation of)

RN 15855-04-6 HCPLUS

CN Isonicotinamide, 2,6-dimethoxy-N-(α -methylphenethyl)- (8CI) (CA
INDEX NAME)



=> file caold

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY SESSION

FULL ESTIMATED COST

79.08

933.02

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY SESSION

CA SUBSCRIBER PRICE

-9.75

-9.75

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FILE COVERS 1907-1966
FILE LAST UPDATED: 01 May 1997 (19970501/UP)

This file contains CAS Registry Numbers for easy and accurate substance identification. Title keywords, authors, patent assignees, and patent information, e.g., patent numbers, are now searchable from 1907-1966. TIFF images of CA abstracts printed between 1907-1966 are available in the PAGE display formats.

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L3 STRUCTURE UPLOADED
L4 0 S L3
L5 0 S L3 FULL
L6 STRUCTURE UPLOADED
L7 2 S L6
L8 383 S L6 FULL

FILE 'HCAPLUS' ENTERED AT 11:54:10 ON 06 SEP 2006

L9 139 S L8

FILE 'REGISTRY' ENTERED AT 11:55:51 ON 06 SEP 2006

L10 STRUCTURE UPLOADED
L11 0 S L10
L12 0 S L10 FULL
L13 STRUCTURE UPLOADED
L14 2 S L13
L15 10 S L13 FULL
L16 10 S L14 FULL

FILE 'HCAPLUS' ENTERED AT 12:02:18 ON 06 SEP 2006

L17 13 S L15
L18 0 S L17 AND IMAMURA, K?/AU
L19 0 S L17 AND MITOMO, K?/AU
L20 0 S L17 AND YAMADA, N?/AU
L21 0 S L17 AND TERAOKA, T?/AU
L22 0 S L17 AND SAKANAKA, O?/AU
L23 0 S L17 AND KURIHARA, H?/AU
L24 0 S L17 AND TANIGUCHI, M?/AU

FILE 'CAOLD' ENTERED AT 12:05:33 ON 06 SEP 2006

=> s l16
L25 0 L16

=> file hcaplus
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Updated Search

09830923

| | ENTRY | SESSION |
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| FULL ESTIMATED COST | 0.44 | 933.46 |
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| | ENTRY | SESSION |
| CA SUBSCRIBER PRICE | 0.00 | -9.75 |

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FILE COVERS 1907 - 6 Sep 2006 VOL 145 ISS 11
FILE LAST UPDATED: 5 Sep 2006 (20060905/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s imamura, k?/au and mitomo, k?/au and yamada, n?/au and yamamoto, k?/au and teraoka, t?/au and sakana, o?/au and kurihara, h?/au and taniguchi, m?/au

1427 IMAMURA, K?/AU

43 MITOMO, K?/AU

3789 YAMADA, N?/AU

18126 YAMAMOTO, K?/AU

382 TERAOKA, T?/AU

25 SAKANAKA, O?/AU

1421 KURIHARA, H?/AU

3956 TANIGUCHI, M?/AU

L26 1 IMAMURA, K?/AU AND MITOMO, K?/AU AND YAMADA, N?/AU AND YAMAMOTO,
K?/AU AND TERAOKA, T?/AU AND SAKANAKA, O?/AU AND KURIHARA,
H?/AU AND TANIGUCHI, M?/AU

=> d 126, ibib abs hitstr, 1

L26 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2000:314676 HCAPLUS

DOCUMENT NUMBER: 132:334362

TITLE: Preparation of picolinamide derivatives and pest controllers containing the same as the active ingredient

INVENTOR(S): Imamura, Keiichi; Mitomo, Kouichi;
Yamada, Natsuko; Yamamoto, Kazumi;
Teraoka, Takeshi; Sakanaka, Osamu;
Kurihara, Hiroshi; Taniguchi, Makoto

PATENT ASSIGNEE(S): Meiji Seika Kaisha, Ltd., Japan

SOURCE: PCT Int. Appl., 98 pp.

Updated Search

09830923

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

Japanese

FAMILY ACC. NUM. COUNT: 1

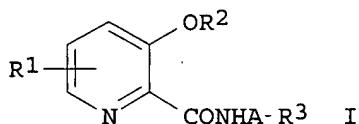
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|----------|-----------------|------------|
| WO 2000026191 | A1 | 20000511 | WO 1999-JP6142 | 19991104 |
| W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM | | | | |
| RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG | | | | |
| CA 2353627 | AA | 20000511 | CA 1999-2353627 | 19991104 |
| EP 1134214 | A1 | 20010919 | EP 1999-954375 | 19991104 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO | | | | |
| AU 771975 | B2 | 20040408 | AU 2000-10768 | 19991104 |
| PRIORITY APPLN. INFO.: | | | JP 1998-313688 | A 19981104 |
| | | | WO 1999-JP6142 | W 19991104 |

OTHER SOURCE(S) :

MARPAT 132:334362

GI



AB Described are novel compds. of general formula [I; wherein A is a bond or optionally substituted alkylene; R1 is one or more groups which may be the same or different from each other and are selected from among hydrogen, alkoxy and haloalkoxy; R2 is hydrogen, (substituted) benzyl, (substituted) alkyl or (substituted) alkanoyl; and R3 is hydrogen, (substituted) cycloalkyl, (substituted) cycloalkenyl, (substituted) aryl, or a (substituted) heterocyclic group, with the proviso that the cases wherein R1 is hydrogen, A is a free valency or methylene, and R3 is Ph or cyclohexyl or those wherein A is alkylene and R3 is hydrogen are excepted.], pest controllers such as plant fungicides, insecticides, and herbicides containing the same; and a process for the preparation of the compds.

Thus, a solution of 1.85 g 4-phenoxyaniline in 25 mL DMF was added dropwise to a suspension of 1.39 g 3-hydroxypicolinic acid, 1.95 g carbonyl diimidazole, and 30 mL DMF and stirred overnight to give 41% 3-hydroxy-4'-phenoxy picolinanilide (II). II at 100 ppm protected 80-100% rice seedlings against Pyricularia oryzae.

REFERENCE COUNT: 19 THERE ARE 19 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT